Azolopyrimidine compounds and use thereof for combating parasitic fungi

## Description

5 The present invention relates to novel azolopyrimidine compounds and to their use for controlling harmful fungi, and to crop protection compositions comprising such compounds as active ingredients.

EP-A 71792, US 5,994,360, EP-A 550113, DE-A 10223917, WO 02/48151 and WO 03/080615 describe fungicidally active pyrazolo[1,5-a]pyrimidines and triazolo[1,5a]pyrimidines carrying an optionally substituted phenyl group in the 6-position of the azolopyrimidine ring and NH<sub>2</sub> or a primary or secondary amino group in the 7-position. Similar triazolopyrimidines having, instead of the optionally substituted phenyl ring, an optionally substituted and/or unsaturated aliphatic or cycloaliphatic
 radical in the 6-position and carrying NH<sub>2</sub> or a primary or secondary amino group in the 7-position are known from WO 03/009687.

Some of the azolopyrimidines known from the prior art are, with respect to their fungicidal action, unsatisfactory, or they have unwanted properties, such as poor crop plant compatibility.

Accordingly, it is an object of the present invention to provide novel compounds having improved fungicidal activity and/or better crop plant compatibility.

25 Surprisingly, this object is achieved by azolopyrimidine compounds of the formula I

in which

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A is N or  $C-R^6$ ;

X, Y independently of one another are a chemical bond or oxygen, sulfur or a group N-R<sup>7</sup>;

5	R <sup>1</sup> , R <sup>2</sup>	independently of one another are $C_1$ - $C_{10}$ -alkyl, $C_2$ - $C_{10}$ -alkenyl, $C_4$ - $C_{10}$ -alkadienyl, $C_2$ - $C_{10}$ -alkynyl, $C_3$ - $C_8$ -cycloalkyl, $C_5$ - $C_8$ -cycloalkenyl, $C_5$ - $C_{10}$ -bicycloalkyl, phenyl, phenyl- $C_1$ - $C_4$ -alkyl, naphthyl, naphthyl- $C_1$ - $C_4$ -alkyl, 5-or 6-membered saturated, partially unsaturated or aromatic heterocyclyl or heterocyclyl- $C_1$ - $C_4$ -alkyl which may in each case have 1, 2 or 3 hetero atoms selected from the group consisting of N, O and S as ring members, where some or all of the radicals mentioned as $R^1$ , $R^2$ may be halog enated or may have 1, 2, 3 or 4 radicals $R^8$ , where
10		Y-R <sup>1</sup> and X-R <sup>2</sup> together with the carbon atom, to which they are attached, may also form a 5-, 6- or 7-membered saturated or unsaturated carbo- or heterocycle, where the latter may have 1, 2, 3 or 4 heteroatoms selected from the group consisting of O, S and N as ring members, where the carbo- and the heterocycle may be partially or fully halogenated or have 1, 2, 3 or 4 of the radicals R <sup>7</sup> and/or R <sup>8</sup> ; where
20		Y-R <sup>1</sup> and X-R <sup>2</sup> independently of one another may also be hydrogen, CN, NO <sub>2</sub> or halogen and where one of the radicals Y-R <sup>1</sup> and X-R <sup>2</sup> may also be OH, SH or NH <sub>2</sub> ;
25	R³	is $C_1$ - $C_{10}$ -alkyl, $C_2$ - $C_{10}$ -alkenyl, $C_4$ - $C_{10}$ -alkadienyl, $C_2$ - $C_{10}$ -alkynyl, $C_3$ - $C_8$ -cycloalkyl, $C_5$ - $C_8$ -cycloalkenyl, $C_5$ - $C_{10}$ -bicycloalkyl, phenyl, phenyl- $C_1$ - $C_4$ -alkyl, naphthyl, a 5- or 6-membered saturated, partially unsaturated or aromatic heterocycle which may have 1, 2 or 3 heteroatoms selected from the group consisting of N, O and S as ring members, where the radicals mentioned as $R^3$ may be partially or fully halogenated or may have 1, 2, 3 or 4 radicals $R^9$ ;
30	R⁴	is halogen, cyano, $C_1$ - $C_6$ -alkyl, $C_1$ - $C_6$ -haloalkyl, $C_2$ - $C_6$ -alkenyl, $C_2$ - $C_6$ -alkynyl, $C_3$ - $C_8$ -cycloalkyl, $C_5$ - $C_8$ -cycloalkenyl, $OR^{10}$ , $SR^{10}$ , $NR^{11}R^{12}$ , $CH_2NR^{11}R^{12}$ or $C(W)R^{13}$ ;
35	R⁵, R <sup>6</sup>	independently of one another are hydrogen, CN, NO <sub>2</sub> , NH <sub>2</sub> , CH <sub>2</sub> NH <sub>2</sub> , halogen, C(W)R <sup>13</sup> , C(=N-OR <sup>15</sup> )R <sup>14</sup> , NHC(W)R <sup>16</sup> , C <sub>1</sub> -C <sub>6</sub> -haloalkyl, C <sub>1</sub> -C <sub>4</sub> -alkyl or C <sub>2</sub> -C <sub>4</sub> -alkenyl;
40	R <sup>7</sup>	is hydrogen, $C_1$ - $C_6$ -alkyl, $C_1$ - $C_6$ -alkoxy, $C_1$ - $C_6$ -haloalkyl, $C_1$ - $C_6$ -haloalkoxy, $C_2$ - $C_6$ -alkenyl, $C_2$ - $C_6$ -alkenyloxy, CN or C(W)R <sup>17</sup> ;
45	R <sup>8</sup>	is selected from the group consisting of halogen, cyano, nitro, OH, SH, NR $^{18}$ R $^{19}$ , C $_1$ -C $_6$ -alkyl, C $_3$ -C $_8$ -cycloalkyl, C $_1$ -C $_6$ -alkoxy, hydroxy-C $_1$ -C $_6$ -alkoxy, C $_1$ -C $_6$ -alkoxy, C $_1$ -C $_6$ -alkoxy-C $_1$ -C $_6$ -alkoxy-C $_1$ -C $_6$ -alkoxy-C $_1$ -C $_6$ -alkoxy-C $_1$ -C $_6$ -alkoxy, C $_1$ -C $_6$ -alkylthio, C $_2$ -C $_6$ -alkenyl, C $_2$ -C $_6$ -alkynyl, C $_2$ -C $_6$ -alkynyl, C $_2$ -C $_6$ -alkynyloxy, C $_1$ -C $_6$ -alkylamino, C(W)R $^{13}$ ,

 $C(=N-OR^{15})R^{14}$ , NHC(W) $R^{16}$ , tris- $C_1$ - $C_6$ -alkylsilyl and phenyl which for its part may have 1, 2 or 3 radicals selected from the group consisting of cyano, nitro, halogen, OH,  $C_1$ - $C_6$ -alkyl,  $C_1$ - $C_6$ -alkoxy,  $C_1$ - $C_6$ -haloalkyl,  $C_1$ - $C_6$ -haloalkoxy and  $C_1$ - $C_6$ -alkylthio;

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R<sup>9</sup>

R<sup>10</sup>

is halogen, cyano, NH<sub>2</sub>, NO<sub>2</sub>, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-haloalkyl, C<sub>1</sub>-C<sub>6</sub>-haloalkoxy, C<sub>2</sub>-C<sub>6</sub>-alkenyl, C<sub>2</sub>-C<sub>6</sub>-alkenyloxy, C(W)R<sup>13</sup>, C(=N-OR<sup>15</sup>)R<sup>14</sup> or NHC(W)R<sup>16</sup>;

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is hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-haloalkyl, C<sub>2</sub>-C<sub>6</sub>-alkenyl or C(W)R<sup>13</sup>;

R<sup>11</sup>, R<sup>12</sup>

independently of one another are hydrogen,  $C_1$ - $C_6$ -alkyl,  $C_2$ - $C_6$ -alkenyl,  $C_4$ - $C_6$ -alkadienyl,  $C_2$ - $C_6$ -alkynyl,  $C_3$ - $C_8$ -cycloalkyl,  $C_5$ - $C_8$ -cycloalkenyl, where the radicals mentioned as  $R^{11}$ ,  $R^{12}$  may be partially or fully halogenated or have 1, 2, 3 or 4 radicals  $R^8$ , where  $R^{11}$  may also be a group  $C(W)R^{13}$  and where

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R<sup>11</sup>, R<sup>12</sup> together with the nitrogen atom, to which they are attached, may also form a 5-, 6- or 7-membered saturated or unsaturated heterocycle which may additionally have 1, 2 or 3 further heteroatoms selected from the group consisting of O, S and N as ring members, where the heterocycle may be partially or fully halogenated and/or may have 1, 2, 3 or 4 of the radicals R<sup>8</sup>;

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is hydrogen, OH,  $C_1$ - $C_6$ -alkyl,  $C_1$ - $C_6$ -alkoxy,  $C_1$ - $C_6$ -haloalkyl,  $C_1$ - $C_6$ -haloalkoxy,  $C_2$ - $C_6$ -alkenyl or NR<sup>18</sup>R<sup>19</sup>;

R<sup>13</sup>

R<sup>14</sup>, R<sup>15</sup>

R<sup>16</sup>, R<sup>17</sup>

independently of one another are hydrogen or C<sub>1</sub>-C<sub>6</sub>-alkyl;

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independently of one another are hydrogen,  $C_1$ - $C_6$ -alkyl,  $C_1$ - $C_6$ -alkoxy,  $NH_2$ ,  $C_1$ - $C_6$ -alkylamino or di- $C_1$ - $C_6$ -alkylamino;

R<sup>18</sup>, R<sup>19</sup> independently of one another have the meanings mentioned for R<sup>11</sup> and R<sup>12</sup>; and

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W is oxygen or sulfur;

by the tautomers of the compounds I and by the agriculturally acceptable salts of the compounds I and their tautomers.

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The present invention thus provides the azolopyrimidine compounds of the formula I and their agriculturally acceptable salts. The invention also provides their tautomers and the agriculturally acceptable salts of these tautomers.

Tautomers of azolopyrimidine compounds of the formula I are in particular the compounds of the formula II below

$$R^{5}$$
 $R^{4}$ 
 $R^{20}$ 
 $R^{10}$ 
 $R^{20}$ 
 $R^{3}$ 
 $R^{4}$ 
 $R^{4}$ 

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in which A, R<sup>3</sup>, R<sup>4</sup> and R<sup>5</sup> have the meanings given above for formula I,

V is a chemical bond or is oxygen, sulfur or a group N-R<sup>7</sup>;

10 W<sup>a</sup> is O, S or a group N-R<sup>21</sup>;

R<sup>20</sup> has one of the meanings given in formula I for R<sup>1</sup> or R<sup>2</sup>;

R<sup>21</sup> has one of the meanings given in formula I for R<sup>1</sup> or R<sup>2</sup>, where R<sup>21</sup> may also be hydrogen; and

if  $W^a$  is N-R<sup>21</sup>, V-R<sup>20</sup> and N-R<sup>21</sup> together with the carbon atom, to which they are attached, may form a 5-, 6- or 7-membered unsaturated heterocycle, where the latter may have 1, 2, 3 or 4 heteroatoms selected from the group consisting of O, S and N as ring members, may be partially or fully halogenated or have 1, 2, 3 or 4 of the radicals R<sup>8</sup> mentioned above. These are tautomers of those compounds of the formula I in which one of the radicals Y-R<sup>1</sup> or X-R<sup>2</sup> is OH, SH, NH<sub>2</sub> or NHR<sup>1</sup> or NHR<sup>2</sup> (i.e. R<sup>7</sup> is hydrogen).

25 Tautomers of compounds of the formula I also include compounds of the formula II'.

$$\begin{array}{c}
R^{4} \\
R^{5} \\
R^{5} \\
R^{4}
\end{array}$$
(II')

in which A, X, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup> and R<sup>5</sup> have the meanings given above and R<sup>1a</sup> corresponds to the radical R<sup>1</sup> minus one hydrogen atom at the point of attachment. These are

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tautomers of compounds of the formula I in which Y is a single bond and  $R^1$  has at least one enolizable hydrogen atom. In the tautomers of the formula II',  $R^{1a}$  and X- $R^2$  together with the carbon atom, to which they are attached, may also form a 5-, 6- or 7-membered unsaturated carbo- or heterocycle, where the latter may have 1, 2, 3 or 4 heteroatoms selected from the group consisting of O, S and N as ring members, where the carbo- and the heterocycle may be partially or fully halogenated or have 1, 2, 3 or 4 of the radicals  $R^7$  and/or  $R^8$  as substituents.

The present invention furthermore provides the use of the azolopyrimidine compounds of the formula I, their tautomers and their agriculturally acceptable salts for controlling phytopathogenic fungi (= harmful fungi) and a method for controlling phytopathogenic harmful fungi which comprises treating the fungi or the materials, plants, the soil or seeds to be protected against fungal attack with an effective amount of a compound of the formula I, a tautomer of I and/or with an agriculturally acceptable salt of I or its tautomer.

The present invention furthermore provides compositions for controlling harmful fungi, which compositions comprise at least one compound of the formula I, a tautomer of I and/or an agriculturally acceptable salt thereof or of its tautomer and at least one liquid or solid carrier.

Depending on the substitution pattern, the compounds of the formula I and their tautomers may have one or more centers of chirality, in which case they are present as mixtures of enantiomers or diastereomers. The invention provides both the pure enantiomers or diastereomers and their mixtures.

Suitable agriculturally useful salts are especially the salts of those cations or the acid addition salts of those acids whose cations and anions, respectively, have no adverse effect on the fungicidal action of the compounds I or their tautomers. Thus, suitable cations are in particular the ions of the alkali metals, preferably sodium and potassium, of the alkaline earth metals, preferably calcium, magnesium and barium, and of the transition metals, preferably manganese, copper, zinc and iron, and the ammonium ion which, if desired, may carry one to four  $C_1$ - $C_4$ -alkyl substituents and/or one phenyl or benzyl substituent, preferably diisopropylammonium, tetramethylammonium, tetrabutyl-ammonium, trimethylbenzylammonium, furthermore phosphonium ions, sulfonium ions, preferably tri( $C_1$ - $C_4$ -alkyl)-sulfonium.

Anions of useful acid addition salts are primarily chloride, bromide, fluoride, hydrogen-40 sulfate, dihydrogenphosphate, hydrogenphosphate, phosphate, nitrate, bicarbonate, carbonate, hexafluorosilicate, hexafluorophosphate, benzoate, and the anions of  $C_1$ - $C_4$ -alkanoic acids, preferably formate, acetate, propionate and butyrate. They can be formed by reacting I with an acid of the corresponding anion, preferably hydrochloric acid, hydrobromic acid, sulfuric acid, phosphoric acid or nitric acid.

In the definitions of the variables given in the above formulae, collective terms are used which are generally representative of the substituents in question. The term  $C_n$ -  $C_m$  indicates the number of carbon atoms possible in each case in the substituent or substituent moiety in question:

halogen: fluorine, chlorine, bromine and iodine;

alkyl and the alkyl moieties in alkoxy, alkylthio, alkoxyalkyl, alkoxyalkoxy, alkylamino and dialkylamino: saturated straight-chain or branched hydrocarbon radicals having 1 to 4, up to 6, up to 8 or up to 10 carbon atoms, for example C<sub>1</sub>-C<sub>6</sub>-alkyl, such as methyl, ethyl, propyl, 1-methylethyl, butyl, 1-methylpropyl, 2-methylpropyl, 2-methylpropyl, 1,1-dimethylethyl, pentyl, 1-methylbutyl, 2-methylbutyl, 3-methylpropyl, 1-ethylpropyl, 1-ethylpropyl, 1,2-dimethylpropyl, 1,2-dimethylpropyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl, 2,2-dimethylbutyl, 2,3-dimethylbutyl, 3,3-dimethylbutyl, 1-ethylbutyl, 2-ethylbutyl, 1,1,2-trimethylpropyl, 1,2,2-trimethylpropyl, 1-ethyl-1-methylpropyl and 1-ethyl-2-methylpropyl;

haloalkyl: straight-chain or branched alkyl groups having 1 to 4, up to 6, up to 8 or up to 10 carbon atoms (as mentioned above), where some or all of the hydrogen atoms in these groups may be replaced by halogen atoms as mentioned above, for example C<sub>1</sub>-C<sub>2</sub>-haloalkyl, such as chloromethyl, bromomethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chlorofluoromethyl, dichlorofluoromethyl, chlorodifluoromethyl, 1-chloroethyl, 1-bromoethyl, 1-fluoroethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2-trifluoroethyl, 2-chloro-2-fluoroethyl, 2-chloro-2-gluoroethyl, 2,2-dichloro-2-fluoroethyl, 2,2,2-trichloroethyl, pentafluoroethyl and 1,1,1-trifluoroprop-2-yl;

**alkenyl:** monounsaturated straight-chain or branched hydrocarbon radicals having 2 to 4, up to 6, up to 8 or up to 10 carbon atoms and a double bond in any position, for example  $C_2$ - $C_6$ -alkenyl, such as ethenyl, 1-propenyl, 2-propenyl, 1-methylethenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-methyl-1-propenyl, 2-methyl-1-propenyl, 1-methyl-2-propenyl, 2-methyl-2-propenyl, 1-pentenyl, 2-pentenyl, 3-pentenyl, 4-pentenyl, 1-methyl-1-butenyl, 2-methyl-2-butenyl, 2-methyl-2-butenyl, 3-methyl-1-butenyl, 2-methyl-3-butenyl, 3-methyl-3-butenyl, 3-methyl-3-butenyl, 1-1-dimethyl-2-propenyl, 1,2-dimethyl-1-propenyl, 1,2-dimethyl-2-

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propenyl, 1-ethyl-1-propenyl, 1-ethyl-2-propenyl, 1-hexenyl, 2-hexenyl, 3-hexenyl, 4-hexenyl, 5-hexenyl, 1-methyl-1-pentenyl, 2-methyl-1-pentenyl, 3-methyl-1-pentenyl, 4-methyl-1-pentenyl, 1-methyl-2-pentenyl, 2-methyl-2-pentenyl, 3-methyl-2-pentenyl, 4-methyl-2-pentenyl, 1-methyl-3-pentenyl, 2-methyl-3-pentenyl, 3-methyl-3-pentenyl, 4-methyl-3-pentenyl, 1-methyl-4-pentenyl, 2-methyl-4-pentenyl, 3-methyl-4-pentenyl, 4-methyl-4-pentenyl, 1,1-dimethyl-2-butenyl, 1,1-dimethyl-3-butenyl, 1,2-dimethyl-1-butenyl, 1,2-dimethyl-2-butenyl, 1,2-dimethyl-3-butenyl, 1,3-dimethyl-1-butenyl, 1,3-dimethyl-2-butenyl, 1,3-dimethyl-3-butenyl, 2,3-dimethyl-1-butenyl, 2,3-dimethyl-1-butenyl, 3,3-dimethyl-2-butenyl, 1-ethyl-1-butenyl, 1-ethyl-1-butenyl, 1-ethyl-2-butenyl, 1-ethyl-1-butenyl, 1-ethyl-2-propenyl, 1-ethyl-1-methyl-2-propenyl, 1-ethyl-1-propenyl, 1-ethyl-2-propenyl;

alkadienyl: doubly unsaturated straight-chain or branched hydrocarbon radicals having 4 to 10 carbon atoms and two double bonds in any position, for example 1,3-15 butadienyl, 1-methyl-1,3-butadienyl, 2-methyl-1,3-butadienyl, penta-1,3-dien-1-yl, hexa-1,4-dien-1-yl, hexa-1,4-dien-3-yl, hexa-1,4-dien-6-yl, hexa-1,5-dien-1-yl, hexa-1,5-dien-3-yl, hexa-1,5-dien-4-yl, hepta-1,4-dien-1-yl, hepta-1,4-dien-3-yl, hepta-1,4-dien-6-yl, hepta-1,4-dien-7-yl, hepta-1,5-dien-1-yl, hepta-1,5-dien-3-yl, hepta-1,5-dien-4-yl, hepta-1,5-dien-7-yl, hepta-1,6-dien-1-yl, hepta-1,6-dien-3-yl, hepta-1,6-dien-4-yl, 20 hepta-1,6-dien-5-yl, hepta-1,6-dien-2-yl, octa-1,4-dien-1-yl, octa-1,4-dien-2-yl, octa-1,4-dien-3-yl, octa-1,4-dien-6-yl, octa-1,4-dien-7-yl, octa-1,5-dien-1-yl, octa-1,5-dien-3yl, octa-1,5-dien-4-yl, octa-1,5-dien-7-yl, octa-1,6-dien-1-yl, octa-1,6-dien-3-yl, octa-1,6-dien-4-yl, octa-1,6-dien-5-yl, octa-1,6-dien-2-yl, deca-1,4-dienyl, deca-1,5-dienyl, 25 deca-1,6-dienyl, deca-1,7-dienyl, deca-1,8-dienyl, deca-2,5-dienyl, deca-2,6-dienyl, deca-2,7-dienyl, deca-2,8-dienyl and the like;

**alkynyl:** straight-chain or branched hydrocarbon groups having 2 to 4, 2 to 6, 2 to 8 or 2 to 10 carbon atoms and a triple bond in any position, for example  $C_2$ - $C_6$ -alkynyl, such as ethynyl, 1-propynyl, 2-propynyl, 1-butynyl, 2-butynyl, 3-butynyl, 1-methyl-2-propynyl, 1-pentynyl, 2-pentynyl, 3-pentynyl, 4-pentynyl, 1-methyl-2-butynyl, 1-methyl-3-butynyl, 2-methyl-3-butynyl, 3-methyl-1-butynyl, 1,1-dimethyl-2-propynyl, 1-ethyl-2-propynyl, 1-methyl-3-pentynyl, 2-methyl-4-pentynyl, 2-methyl-3-pentynyl, 3-methyl-4-pentynyl, 4-methyl-1-pentynyl, 4-methyl-2-pentynyl, 1,1-dimethyl-2-butynyl, 1,1-dimethyl-3-butynyl, 1,2-dimethyl-3-butynyl, 2,2-dimethyl-3-butynyl, 3,3-dimethyl-1-butynyl, 1-ethyl-2-butynyl, 1-ethyl-3-butynyl, 2-ethyl-3-butynyl and 1-ethyl-1-methyl-2-propynyl;

cycloalkyl: monocyclic saturated hydrocarbon groups having 3 to 8, preferably up to 6

carbon ring members, such as cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl;

**cycloalkenyl:** monocyclic monounsaturated hydrocarbon groups having 5 to 8, preferably up to 6 carbon ring members, such as cyclopenten-1-yl, cyclopenten-3-yl, cyclohexen-1-yl, cyclohexen-3-yl and cyclohexen-4-yl;

**bicycloalkyl:** a bicyclic hydrocarbon radical having 5 to 10 carbon atoms, such as bicyclo[2.2.1]hept-1-yl, bicyclo[2.2.1]hept-2-yl, bicyclo[2.2.1]hept-7-yl, bicyclo[2.2.2]oct-1-yl, bicyclo[2.2.2]oct-2-yl, bicyclo[3.3.0]octyl and bicyclo[4.4.0]decyl.

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alkylamino: an alkyl group attached via an NH group, such as methylamino, ethylamino, n-propylamino, isopropylamino, n-butylamino and the like;

dialkylamino: a radical of the formula N(alkyl)<sub>2</sub>, in which alkyl is one of the alkyl radicals mentioned above having generally 1 to 6 and in particular 1 to 4 carbon atoms, for example dimethylamino, diethylamino, methylethylamino, N-methyl-N-propylamino and the like;

C<sub>1</sub>-C<sub>4</sub>-alkoxy: an alkyl group having 1 to 4 carbon atoms which is attached via oxygen, for example methoxy, ethoxy, n-propoxy, 1-methylethoxy, butoxy, 1-methylpropoxy, 2-methylpropoxy or 1,1-dimethylethoxy;

**C<sub>1</sub>-C<sub>6</sub>-alkoxy:** C<sub>1</sub>-C<sub>4</sub>-alkoxy as mentioned above, and also, for example, pentoxy, 1-methylbutoxy, 2-methylbutoxy, 3-methylbutoxy, 1,1-dimethylpropoxy, 1,2-dimethylpropoxy, 2,2-dimethylpropoxy, 1-ethylpropoxy, hexoxy, 1-methylpentoxy, 2-methylpentoxy, 2-methylpentoxy, 1,1-dimethylbutoxy, 1,2-dimethylbutoxy, 1,3-dimethylbutoxy, 2,2-dimethylbutoxy, 2,3-dimethylbutoxy, 3,3-dimethylbutoxy, 1-ethylbutoxy, 2-ethylbutoxy, 1,1,2-trimethylpropoxy, 1,2,2-trimethylpropoxy, 1-ethyl-1-methylpropoxy or 1-ethyl-2-methylpropoxy;

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 $C_1$ - $C_4$ -haloalkoxy: a  $C_1$ - $C_4$ -alkoxy radical as mentioned above which is partially or fully substituted by fluorine, chlorine, bromine and/or iodine, preferably by fluorine, i.e., for example, OCH<sub>2</sub>F, OCHF<sub>2</sub>, OCF<sub>3</sub>, OCH<sub>2</sub>Cl, OCHCl<sub>2</sub>, OCCl<sub>3</sub>, chlorofluoromethoxy, dichlorofluoromethoxy, chlorodifluoromethoxy, 2-fluoroethoxy, 2-chloroethoxy, 2-bromoethoxy, 2-iodoethoxy, 2,2-difluoroethoxy, 2,2-trifluoroethoxy, 2-chloro-2-fluoroethoxy, 2-chloro-2-fluoroethoxy, 2-chloro-2,2-difluoroethoxy, 2,2-dichloro-2-fluoroethoxy, 2,2,2-trichloroethoxy, OC<sub>2</sub>F<sub>5</sub>, 2-fluoropropoxy, 3-fluoropropoxy, 2,2-difluoropropoxy, 2,3-difluoropropoxy, 2-bromopropoxy, 3-bromopropoxy, 3-chloropropoxy, 3,3,3-trichloropropoxy, OCH<sub>2</sub>- $C_2$ F<sub>5</sub>, OCF<sub>2</sub>- $C_2$ F<sub>5</sub>, 1-(CH<sub>2</sub>F)-2-fluoroethoxy, 1-(CH<sub>2</sub>Cl)-2-chloroethoxy, 1-(CH<sub>2</sub>Br)-2-bromo-

ethoxy, 4-fluorobutoxy, 4-chlorobutoxy, 4-bromobutoxy or nonafluorobutoxy;

**C<sub>1</sub>-C<sub>6</sub>-haloalkoxy:** C<sub>1</sub>-C<sub>4</sub>-haloalkoxy as mentioned above, and also, for example, 5-fluoropentoxy, 5-chloropentoxy, 5-bromopentoxy, 5-iodopentoxy, undecafluoropentoxy, 6-fluorohexoxy, 6-chlorohexoxy, 6-bromohexoxy, 6-iodohexoxy or dodecafluorohexoxy;

alkenyloxy: alkenyl as mentioned above which is attached via an oxygen atom, for example C<sub>2</sub>-C<sub>6</sub>-alkenyloxy, such as vinyloxy, 1-propenyloxy, 2-propenyloxy, 1-methylethenyloxy, 1-butenyloxy, 2-butenyloxy, 3-butenyloxy, 1-methyl-1-propenyloxy, 10 2-methyl-1-propenyloxy, 1-methyl-2-propenyloxy, 2-methyl-2-propenyloxy, 1-pentenyloxy, 2-pentenyloxy, 3-pentenyloxy, 4-pentenyloxy, 1-methyl-1-butenyloxy, 2-methyl-1-butenyloxy, 3-methyl-1-butenyloxy, 1-methyl-2-butenyloxy, 2-methyl-2butenyloxy, 3-methyl-2-butenyloxy, 1-methyl-3-butenyloxy, 2-methyl-3-butenyloxy, 15 3-methyl-3-butenyl, 1,1-dimethyl-2-propenyloxy, 1,2-dimethyl-1-propenyloxy, 1,2-dimethyl-2-propenyloxy, 1-ethyl-1-propenyloxy, 1-ethyl-2-propenyloxy, 1-hexenyloxy, 2-hexenyloxy, 3-hexenyloxy, 4-hexenyloxy, 5-hexenyloxy, 1-methyl-1-pentenyloxy, 2-methyl-1-pentenyloxy, 3-methyl-1-pentenyloxy, 4-methyl-1-pentenyloxy, 1-methyl-2pentenyloxy, 2-methyl-2-pentenyloxy, 3-methyl-2-pentenyloxy, 4-methyl-2-pentenyloxy, 20 1-methyl-3-pentenyloxy, 2-methyl-3-pentenyloxy, 3-methyl-3-pentenyloxy, 4-methyl-3pentenyloxy, 1-methyl-4-pentenyloxy, 2-methyl-4-pentenyloxy, 3-methyl-4-pentenyloxy, 4-methyl-4-pentenyloxy, 1,1-dimethyl-2-butenyloxy, 1,1-dimethyl-3-butenyloxy, 1,2-dimethyl-1-butenyloxy, 1,2-dimethyl-2-butenyloxy, 1,2-dimethyl-3-butenyloxy, 1,3-dimethyl-1-butenyloxy, 1,3-dimethyl-2-butenyloxy, 1,3-dimethyl-3-butenyloxy, 2,2-di-25 methyl-3-butenyloxy, 2,3-dimethyl-1-butenyloxy, 2,3-dimethyl-2-butenyloxy, 2,3-dimethyl-3-butenyloxy, 3,3-dimethyl-1-butenyloxy, 3,3-dimethyl-2-butenyloxy, 1-ethyl-1butenyloxy, 1-ethyl-2-butenyloxy, 1-ethyl-3-butenyloxy, 2-ethyl-1-butenyloxy, 2-ethyl-2butenyloxy, 2-ethyl-3-butenyloxy, 1,1,2-trimethyl-2-propenyloxy, 1-ethyl-1-methyl-2propenyloxy, 1-ethyl-2-methyl-1-propenyloxy and 1-ethyl-2-methyl-2-propenyloxy;

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**alkynyloxy**: alkynyl as mentioned above which is attached via an oxygen atom, for example C<sub>3</sub>-C<sub>6</sub>-alkynyloxy, such as 2-propynyloxy, 2-butynyloxy, 3-butynyloxy, 1-methyl-2-propynyloxy, 2-pentynyloxy, 3-pentynyloxy, 4-pentynyloxy, 1-methyl-2-butynyloxy, 1-methyl-3-butynyloxy, 2-methyl-3-butynyloxy, 1-methyl-2-propynyloxy, 2-hexynyloxy, 3-hexynyloxy, 4-hexynyloxy, 5-hexynyloxy, 1-methyl-2-pentynyloxy, 1-methyl-3-pentynyloxy and the like;

a five- to seven-membered saturated, partially unsaturated or aromatic heterocycle or heterocyclyl which contains one, two or three heteroatoms from the group consisting of O, N and S:

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- a saturated, partially unsaturated (for example monounsaturated) or aromatic heterocyclic radical having 5, 6 or 7 ring atoms, 1, 2 or 3 of which are selected from the group consisting of nitrogen, oxygen and sulfur and the remaining ring atoms are carbon, for example:
- 5- or 6-membered saturated or monounsaturated heterocyclyl which contains one to two nitrogen atoms and/or one oxygen or sulfur atom or one or two oxygen and/or sulfur atoms as ring members, for example 2-tetrahydrofuranyl, 3-tetrahydrofuranyl, 2-tetrahydrothienyl, 3-tetrahydrothienyl, 1-pyrrolidinyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 3-isoxazolidinyl, 4-isoxazolidinyl, 5-isoxazolidinyl, 3-isothiazolidinyl, 4-isothiazolidinyl, 3-pyrazolidinyl, 4-pyrazolidinyl, 5-pyrazolidinyl, 2-oxazolidinyl, 4-oxazolidinyl, 5-oxazolidinyl, 2-thiazolidinyl, 4-thiazolidinyl, 5-thiazolidinyl, 2-imidazolidinyl, 4-imidazolidinyl, 2-pyrrolin-2-yl, 2-pyrrolin-3-yl, 3-pyrrolin-2-yl, 3-pyrrolin-3-yl, 1-piperidinyl, 2-piperidinyl, 3-piperidinyl, 4-piperidinyl, 1,3-dioxan-5-yl, 2-tetrahydropyranyl, 4-tetrahydropyranyl, 2-tetrahydrothienyl, 3-hexahydropyridazinyl, 4-hexahydropyrimidinyl, 5-hexahydropyrimidinyl and 2-piperazinyl;
- 20 5-membered aromatic heterocyclyl (= heteroaryl or hetaryl) which, in addition to carbon atoms, contains one, two or three nitrogen atoms or one or two nitrogen atoms and one sulfur or oxygen atom as ring members, for example 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 2-pyrrolyl, 3-pyrrolyl, 3-pyrazolyl, 4-pyrazolyl, 5-pyrazolyl, 2-oxazolyl, 4-oxazolyl, 5-oxazolyl, 2-thiazolyl, 4-thiazolyl, 5-thiazolyl, 2-imidazolyl, 4-imidazolyl, and 1,3,4-triazol-2-yl;
  - 6-membered heterocyclyl (= heteroaryl or hetaryl) which, in addition to carbon atoms, contains one or two or one, two or three nitrogen atoms as ring members, for example 2-pyridinyl, 3-pyridinyl, 4-pyridinyl, 4-pyridazinyl, 4-pyridazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 2-pyrazinyl, 1,2,4-triazin-3-yl; 1,2,4-triazin-5-yl, 1,2,4-triazin-6-yl and 1,3,5-triazin-2-yl.

A first embodiment of the invention relates to compounds of the formula I in which A is N. Hereinbelow, such compounds are also referred to as compounds I-A. A second embodiment of the invention relates to compounds of the formula I in which A is C-R<sup>6</sup>. Hereinbelow, such compounds are also referred to as compounds I-B.

$$R^{5}$$
 $R^{4}$ 
 $R^{1}$ 
 $R^{4}$ 
 $R^{5}$ 
 $R^{4}$ 
 $R^{5}$ 
 $R^{4}$ 
 $R^{5}$ 
 $R^{5}$ 
 $R^{4}$ 
 $R^{5}$ 
 $R^{5}$ 
 $R^{6}$ 
 $R^{6}$ 
 $R^{1}$ 
 $R^{2}$ 
 $R^{3}$ 
 $R^{4}$ 
 $R^{4}$ 
 $R^{5}$ 
 $R^{4}$ 
 $R^{5}$ 
 $R^{5}$ 

With a view to the fungicidal action of the compounds according to the invention, preference is given to those compounds of the formula I in which A, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup> and R<sup>5</sup> have in particular the meanings indicated below:

 $R^1$  and  $R^2$  independently of one another are  $C_1$ - $C_{10}$ -alkyl,  $C_1$ - $C_{10}$ -haloalkyl,  $C_3$ - $C_{10}$ -alkenyl,  $C_3$ - $C_{10}$ -haloalkenyl,  $C_3$ - $C_8$ -cycloalkyl,  $C_5$ - $C_8$ -cycloalkenyl,  $C_3$ - $C_8$ -cycloalkyl- $C_1$ - $C_{10}$ -alkyl,  $C_3$ - $C_8$ -cycloalkyl- $C_2$ - $C_{10}$ -alkenyl, phenyl or benzyl, where the 6 lastmentioned radicals may also carry 1, 2, 3 or 4 substituents selected from the group consisting of halogen,  $C_1$ - $C_4$ -alkyl,  $C_1$ - $C_4$ -haloalkyl and  $C_1$ - $C_4$ -alkoxy, or a group X- $R^2$  or Y- $R^1$  is hydrogen or halogen, especially chlorine, and the remaining radical  $R^2$  or  $R^1$  has the meanings indicated here as being preferred.

Hereinbelow, preferred groups  $R^1$  and  $R^2$  are illustrated in more detail. What is stated below for  $R^1$  applies correspondingly also to  $R^2$ .  $R^1$  is preferably  $C_1$ - $C_4$ -alkyl,  $C_2$ - $C_6$ -alkenyl or  $C_1$ - $C_8$ -haloalkyl. If  $R^1$  is an alkyl, alkenyl or alkynyl group, this can be branched at the  $\alpha$  carbon atom. In these cases, the group  $R^1$  corresponds to a group C:

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in which # is the bond to the carbon atom of the imino group or to Y and

R<sup>1x</sup> is C<sub>1</sub>-C<sub>3</sub>-alkyl or C<sub>1</sub>-C<sub>3</sub>-haloalkyl;

R<sup>1y</sup> is hydrogen, C<sub>1</sub>-C<sub>3</sub>-alkyl or C<sub>1</sub>-C<sub>3</sub>-haloalkyl;

R<sup>1z</sup> is C<sub>1</sub>-C<sub>8</sub>-alkyl, C<sub>2</sub>-C<sub>8</sub>-alkenyl or C<sub>2</sub>-C<sub>8</sub>-alkynyl, where R<sup>1z</sup> may be unsubstituted or partially or fully halogenated and/or may carry one to three groups R<sup>8</sup>.

Preference is likewise given to compounds I in which R<sup>1</sup> is a 5- or 6-membered saturated or aromatic heterocycle which contains one or two heteroatoms from the group consisting of N, O and S and which may be substituted by one or two alkyl or haloalkyl groups.

Preference is given to compounds I in which R<sup>1</sup> is a group B:

$$F F$$

$$F \xrightarrow{\downarrow} (CH_2)_q - CHR^{22} B$$

in which

5 Z<sup>1</sup> is hydrogen, fluorine or C<sub>1</sub>-C<sub>6</sub>-fluoroalkyl,

Z<sup>2</sup> is hydrogen or fluorine, or

Z<sup>1</sup> and Z<sup>2</sup> together form a double bond;

q is 0 or 1; and

R<sup>22</sup> is hydrogen or methyl.

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Moreover, preference is given to compounds I in which  $R^1$  is  $C_3$ - $C_6$ -cycloalkyl which may be substituted by  $C_1$ - $C_4$ -alkyl.

If X-R<sup>2</sup> and Y-R<sup>1</sup> and the carbon atom, to which they are attached, form an optionally substituted carbo- or heterocycle, this cycle is preferably selected from among 5-, 6- or 7-membered saturated or monounsaturated cycles which optionally include one heteroatom as ring member. In this case, for example, X-R<sup>2</sup> and Y-R<sup>1</sup> together are -(CH<sub>2</sub>)<sub>2</sub>CH=CHCH<sub>2</sub>-, -(CH<sub>2</sub>)<sub>2</sub>C(CH<sub>3</sub>)=CHCH<sub>2</sub>-, -(CH<sub>2</sub>)<sub>2</sub>CH(CH<sub>3</sub>)(CH<sub>2</sub>)<sub>2</sub>-, -(CH<sub>2</sub>)<sub>2</sub>CHF(CH<sub>2</sub>)<sub>2</sub>-, -(CH<sub>2</sub>)<sub>2</sub>CH(CF<sub>3</sub>)(CH<sub>2</sub>)<sub>2</sub>-, -(CH<sub>2</sub>)<sub>2</sub>O(CH<sub>2</sub>)<sub>2</sub>-, -(CH<sub>2</sub>)<sub>2</sub>CH(CF<sub>3</sub>)(CH<sub>2</sub>)<sub>2</sub>-, -(CH<sub>2</sub>)<sub>2</sub>CH(CH<sub>3</sub>)(CH<sub>2</sub>)<sub>3</sub>-, -(CH<sub>2</sub>)<sub>4</sub>-, -CH<sub>2</sub>CH(CH<sub>3</sub>)(CH<sub>2</sub>)<sub>3</sub>-, -CH(CH<sub>3</sub>)(CH<sub>2</sub>)<sub>4</sub>-, -CH<sub>2</sub>CH(CH<sub>3</sub>)(CH<sub>2</sub>)<sub>3</sub>-.

Among the compounds of the formula I, preference is furthermore given to those in which  $R^3$  is a phenyl ring which has 1, 2, 3 or 4, in particular 1, 2 or 3, of the radicals  $R^9$  indicated above or is pentafluorophenyl. Preferably, at least one of the radicals  $R^9$  is located in the ortho-position to the point of attachment. In this case,  $R^9$  is selected in particular from among the following radicals: halogen, especially fluorine or chlorine, CN,  $C_1$ - $C_4$ -alkyl, especially methyl or ethyl,  $C_1$ -haloalkyl, especially trifluoromethyl,  $C_1$ - $C_4$ -alkoxy, especially methoxy or  $-C(=O)-R^{13}$  in which  $R^{13}$  has the meanings indicated above and is in particular hydrogen, hydroxyl,  $C_1$ - $C_4$ -alkoxy,  $C_1$ - $C_4$ -haloalkoxy,  $C_1$ - $C_2$ -alkylamino or di- $C_1$ - $C_2$ -alkylamino. Among these, preference is given to those compounds of the formula I in which  $R^3$  is a group of the formula

in which

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R<sup>a1</sup> is fluorine, chlorine, methyl or CF<sub>3</sub>;

5 Ra2 is hydrogen, chlorine or fluorine;

is hydrogen, CN, NO<sub>2</sub>, fluorine, chlorine, C<sub>1</sub>-C<sub>4</sub>-alkyl, especially methyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, especially methoxy or a group C(W)R<sup>13a</sup> in which W is oxygen or sulfur and R<sup>13a</sup> is C<sub>1</sub>-C<sub>4</sub>-alkoxy, NH<sub>2</sub>, C<sub>1</sub>-C<sub>4</sub>-alkylamino or di-C<sub>1</sub>-C<sub>4</sub>-alkylamino, the group C(W)R<sup>13a</sup> being especially C(O)OCH<sub>3</sub>, CONH<sub>2</sub>, C(S)OCH<sub>3</sub>;

10 Ra4 is hydrogen, chlorine or fluorine;

R<sup>a5</sup> is hydrogen, fluorine, chlorine or C<sub>1</sub>-C<sub>4</sub>-alkyl.

Among the compounds of the formula I, preference is furthermore given to those compounds in which  $R^3$  is an optionally substituted hydrocarbon radical having 3 to 8 carbon atoms and in particular optionally substituted  $C_3$ - $C_6$ -cycloalkyl,  $C_3$ - $C_6$ -cycloalkylmethyl,  $C_3$ - $C_8$ -alkyl,  $C_1$ - $C_8$ -haloalkyl or benzyl and, for example, propyl, isopropyl, isobutyl, 1-methylbutyl, tert-butyl, n-octyl, cyclopropyl, cyclopropylmethyl, cyclopentyl, cyclohexyl, 2,2,2-trifluoroethyl, benzyl or 2-, 3- or 4-chlorophenylmethyl.

- Among the compounds of the formula I, preference is furthermore given to those compounds in which R³ is a 5- or 6-membered heteroaromatic radical which has 1, 2 or 3 heteroatoms selected from the group consisting of N, O and S as ring members and which may have 1, 2, 3 or 4 radicals R³.
- Examples of heterocyclic radicals on R³ are 1-, 2- or 3-pyrazolyl, 2- or 3-thienyl, for example 4-thiazolyl, isothiazolyl, for example 4-isothiazolyl, oxazolyl, for example 4-oxazolyl, isoxazolyl, for example 4-isoxazolyl, pyrrolyl, for example 2-pyrrolyl, imidazolyl, for example 1-imidazolyl, pyridyl, for example 2-, 3-, or 4-pyridyl, pyrazinyl, for example 2-pyrazinyl, pyridazine, for example 3-pyridazinyl, pyrimidinyl, for example 2-, 4- or 5-pyrimidinyl and 1,3,5-triazin-2-yl, where the radicals mentioned above may be unsubstituted or, depending on the number of carbon atoms in the ring, may have 1, 2, 3 or 4 radicals R³. Preferred radicals R³ are halogen, nitro, cyano, C₁-C₄-alkyl, C₁-C₄-haloalkyl, especially C₁-C₂-fluoroalkyl, C₁-C₄-alkoxy and C₁-C₄-alkoxycarbonyl.
- 35 Preferred heteroaromatic radicals include the radicals het-1 to het-21 shown below:

in which

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# denotes the point of attachment; and

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R<sup>b1</sup>, R<sup>b2</sup>, R<sup>b3</sup> and R<sup>b4</sup> independently of one another are hydrogen or have the meanings mentioned for R<sup>9</sup>.

Preferably, the radicals R<sup>b1</sup>, R<sup>b2</sup>, R<sup>b3</sup> and R<sup>b4</sup> independently of one another are selected from the group consisting of hydrogen, halogen, nitro, cyano, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, especially C<sub>1</sub>-C<sub>2</sub>-fluoroalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy and C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl. In a particularly preferred embodiment, R<sup>b1</sup>, R<sup>b2</sup>, R<sup>b3</sup> and R<sup>b4</sup> independently of one another are selected from the group consisting of hydrogen, nitro, cyano, fluorine, chlorine, bromine, methyl, ethyl, isopropyl, trifluoromethyl, fluoromethyl, methoxy and methoxycarbonyl.

Examples of het-1 include 3,5-dimethylpyrazol-1-yl, 3,5-diisopropylpyrazol-1-yl, 3-methyl-5-isopropylpyrazol-1-yl, 3-isopropyl-5-methylpyrazol-1-yl, 3-ethyl-5-methylpyrazol-1-yl, 3,4,5-trimethylpyrazol-1-yl, 3-trifluoromethylpyrazol-1-yl, 3-trifluoromethyl-5-methylpyrazol-1-yl, 3-methyl-5-methoxypyrazol-1-yl, 3,5-dimethyl-4-chloropyrazol-1-yl and 3,5-ditrifluoromethylpyrazol-1-yl.

Examples of het-2 include 1,3-dimethylpyrazol-5-yl and 1-methyl-3-trifluoromethylpyrazol-1-yl.

Examples of het-3 include 1,5-dimethylpyrazol-3-yl and 1-methyl-5-methoxypyrazol-3-yl.

25 Examples of het-4 include 1,3-dimethylpyrazol-4-yl, 1,5-dimethylpyrazol-4-yl, 1,3,5-trimethylpyrazol-4-yl, 1-methyl-3-trifluoromethylpyrazol-4-yl and 1-methyl-5-trifluoromethylpyrazol-4-yl.

Examples of het-5 include 2-thienyl, 5-methylthiophen-2-yl, 5-chlorothiophen-2-yl, 3,5-dichlorothiophen-2-yl, 3,4,5-trichlorothiophen-2-yl and 5-bromothiophen-2-yl.

Examples of het-6 include 3-thienyl, 2-methylthiophen-3-yl, 2,5-dichlorothiophen-3-yl, 2,4,5-trichlorothiophen-3-yl and 2,5-dibromothiophen-3-yl.

Examples of het-7 include thiazol-4-yl, 2-methylthiazol-4-yl, 2-methyl-5-chlorothiazol-4-yl and 2,5-dichlorothiazol-4-yl.

Examples of het-8 include 3-methylisothiazol-4-yl and 3-methyl-5-chloroisothiazol-4-yl.

40 Examples of het-9 include oxazol-4-yl, 2-methyloxazol-4-yl and 2,5-dimethyloxazol-4-yl.

Examples of het-10 include isoxazol-4-yl, 3,5-dimethylisoxazol-4-yl and 3-chloro-isoxazol-4-yl.

- 5 Examples of het-11 include 1-methylpyrrol-2-yl, 1,4-dimethylpyrrol-2-yl, 1-methyl-5-chloropyrrol-2-yl and 1-methyl-3,5-dichloropyrrol-2-yl.
  - Examples of het-12 include 4,5-dichloroimidazol-1-yl and 4,5-dimethylimidazol-1-yl.
- Examples of het-13 include 2-pyridyl, 3-fluoropyridin-2-yl, 3,5-difluoropyridin-2-yl, 3,5-dichloropyridin-2-yl, 3-fluoro-5-trifluoromethylpyridin-2-yl, 3-trifluoromethylpyridin-2-yl, 5-nitropyridin-2-yl, 5-cyanopyridin-2-yl, 5-methoxycarbonylpyridin-2-yl, 5-trifluoromethylpyridin-2-yl, 5-methylpyridin-2-yl, 4-methylpyridin-2-yl, 3-methylpyridin-2-yl, 3-ethylpyridin-2-yl and 6-methylpyridin-2-yl.

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An example of het-14 is 3-pyridyl.

An example of het-15 is 4-pyridyl.

20 An example of het-16 is pyrazin-2-yl.

Examples of het-17 include pyridazin-3-yl, 6-chloropyridazin-3-yl, 6-methoxypyridazin-3-yl.

- 25 Examples of het-18 include 5-chloropyrimidin-4-yl, 5-fluoropyrimidin-4-yl, 5-fluoro-6-chloropyrimidin-4-yl, 2-methyl-6-trifluoromethyl-pyrimidin-4-yl, 2,5-dimethyl-6-trifluoromethylpyrimidin-4-yl, 6-trifluoromethyl-pyrimidin-4-yl, 2-methyl-5-fluoropyrimidin-4-yl, 2-methyl-5-chloropyrimidin-4-yl, 5-chloro-6-methylpyrimidin-4-yl, 5-chloro-6-ethylpyrimidin-4-yl, 5-chloro-6-
- isopropylpyrimidin-4-yl, 5-bromo-6-methylpyrimidin-4-yl, 5-fluoro-6-methylpyrimidin-4-yl, 5-fluoro-6-fluoromethylpyrimidin-4-yl, 2,6-dimethyl-5-chloropyrimidin-4-yl, 5,6-dimethylpyrimidin-4-yl, 2,5-dimethylpyrimidin-4-yl, 2,5,6-trimethylpyrimidin-4-yl and 5-methyl-6-methoxypyrimidin-4-yl.
- Examples of het-19 include 4-methylpyrimidin-5-yl, 4,6-dimethylpyrimidin-5-yl, 2,4,6-trimethylpyrimidin-5-yl and 4-trifluoromethyl-6-methylpyrimidin-5-yl.
  - Examples of het-20 include 4,6-dimethylpyrimidin-2-yl, 4,5,6-trimethylpyrimidin-2-yl, 4,6-ditrifluoromethylpyrimidin-2-yl and 4,6-dimethyl-5-chloropyrimidin-2-yl.

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An example of het-21 is 1,3,5-triazin-2-yl.

Furthermore, it has been found to be advantageous for  $R^4$  in formula I to be halogen, CN,  $C_1$ - $C_4$ -alkoxy, especially methoxy, or  $C_1$ - $C_4$ -alkyl, especially methyl. Among these, preference is given in particular to compounds of the formula I in which  $R^4$  is halogen. Preference is also given to compounds of the formula I in which  $R^4$  is methyl or methoxy.

Among the compounds of the formula I, preference is furthermore given to those compounds in which R<sup>5</sup> is hydrogen, halogen, especially chlorine or fluorine, or C<sub>1</sub>-C<sub>4</sub>-alkyl, especially methyl. In a particularly preferred embodiment, R<sup>5</sup> is hydrogen.

In the compounds of the formula I-B, R<sup>6</sup> is preferably hydrogen, halogen, especially chlorine or fluorine, a group C(W)R<sup>13b</sup> in which W is oxygen or sulfur and R<sup>13b</sup> is C<sub>1</sub>-C<sub>4</sub>-alkoxy, NH<sub>2</sub>, C<sub>1</sub>-C<sub>4</sub>-alkylamino or di-C<sub>1</sub>-C<sub>4</sub>-alkylamino, especially C(O)OCH<sub>3</sub>, CONH<sub>2</sub>, C(S)OCH<sub>3</sub>, or C<sub>1</sub>-C<sub>4</sub>-alkyl, especially methyl. If R<sup>5</sup> is different from hydrogen, R<sup>6</sup> is in particular hydrogen. With particular preference, R<sup>5</sup> and R<sup>6</sup> in formula I-B are hydrogen.

In a preferred embodiment of the compounds according to the invention, at least one of the variables X or Y in formula I is a chemical bond. Among these, preference is given to those compounds in which one of the groups Y-R<sup>1</sup> or X-R<sup>2</sup> is hydrogen or C<sub>1</sub>-C<sub>8</sub>-alkyl and especially C<sub>1</sub>-C<sub>4</sub>-alkyl. The other of these groups Y-R<sup>1</sup> or X-R<sup>2</sup> has the meanings indicated above. In this case, R<sup>1</sup> and R<sup>2</sup> have in particular one of the meanings indicated as being preferred.

In a particularly preferred embodiment of the compounds I, both variables X and Y are a chemical bond. In this case, R¹ and R² independently of one another have the meanings indicated above and are in particular selected from the group consisting of hydrogen, C¹-C¹0-alkyl, C¹-C¹0-haloalkyl, C³-C¹0-alkenyl, C³-C¹0-haloalkenyl, C³-C³-cycloalkyl, C³-C³-cycloalkyl-C²-C¹0-alkyl, C³-C³-cycloalkyl-C²-C¹0-alkenyl, phenyl and benzyl, where the 6 lastmentioned radicals may also carry 1, 2, 3 or 4 substituents selected from the group consisting of halogen, C¹-C⁴-alkyl, C¹-C⁴-haloalkyl and C¹-C⁴-alkoxy, where one of the radicals R¹ or R² may also be halogen and especially chlorine. Among these, particular preference is given to those compounds in which one of the radicals R¹ or R² is a group of the formula C or B as defined above.

Among the compounds I in which X and Y are each a chemical bond, preference is given to those compounds in which one of the variables R<sup>1</sup> or R<sup>2</sup> is hydrogen or C<sub>1</sub>-C<sub>4</sub>-

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alkyl and the other variable has one of the meanings indicated above, in particular a meaning mentioned as being preferred.

Among the compounds I in which X and Y are each a chemical bond, preference is furthermore given to those compounds in which one of the variables R<sup>1</sup> or R<sup>2</sup> is halogen, especially is chlorine, and the other variable has one of the meanings indicated above, in particular a meaning mentioned as being preferred.

 $R^7$  is in particular hydrogen or  $C_1$ - $C_4$ -alkyl. Compounds where  $R^7$  = hydrogen can in particular also be present in the form of tautomers of the formula II in which  $W^a$  is a group N- $R^{21}$ .

In a further preferred embodiment of the compounds according to the invention, one of the variables X or Y in formula I is a group  $NR^7$ . Among these, preference is given to those compounds I in which Y is  $N-R^7$ , where  $R^7$  has the meanings mentioned above and in particular a meaning mentioned as being preferred. In the group  $-(NR^7)-R^1$ ,  $R^1$  is then  $C_1-C_{10}$ -alkyl,  $C_2-C_{10}$ -alkenyl,  $C_4-C_{10}$ -alkadienyl,  $C_2-C_{10}$ alkynyl,  $C_3-C_8$ -cycloalkyl,  $C_5-C_8$ -cycloalkyl, phenyl, phenyl- $C_1-C_4$ -alkyl, naphthyl, naphthyl- $C_1-C_4$ -alkyl, where the radicals mentioned as  $R^1$  may be partially or fully halogenated and/or may have 1, 2, 3 or 4 radicals  $R^8$ . Very particular preference is given to compounds of the formula I in which the group  $(NR^7)R^1$  is  $C_1-C_6$ -alkylamino or di- $C_1$ - $C_6$ -alkylamino, especially  $C_1-C_4$ -alkylamino or di- $C_1$ - $C_6$ -alkylamino.

Preference is likewise given to compounds I in which in the group  $-(NR^7)-R^1$  the substituents  $R^1$  and  $R^7$  together with the nitrogen atom to which they are attached are a 5- or 6-membered saturated, partially unsaturated or aromatic N-heterocycle which may have one or two further heteroatoms selected from the group consisting of O, S and N as ring member and/or may have 1, 2, 3 or 4 radicals  $R^8$ , in which  $R^8$  has one or of the meanings mentioned above and in particular one of the meanings mentioned as being preferred.

Among these, particular preference is given to those compounds I in which the group -(NR<sup>7</sup>)-R<sup>1</sup> is 5- or 6-membered saturated heterocyclyl which is attached via nitrogen, which optionally has a further heteroatom selected from the group consisting of N, O and S as ring atom and which optionally carries 1, 2, 3 or 4 substituents R<sup>8</sup> selected from the group consisting of halogen and C<sub>1</sub>-C<sub>4</sub>-alkyl. In a particularly preferred embodiment, the group -(NR<sup>7</sup>)-R<sup>1</sup> is piperidin-1-yl, 4-methyl-1-piperidinyl, 1-pyrrolidinyl, 2,5-dihydropyrrol-1-yl, 4-morpholinyl or 4-thiomorpholinyl.

Preference is likewise given to compounds I in which X is a chemical bond,  $R^2$  is hydrogen or  $C_1$ - $C_4$ -alkyl and the group -( $NR^7$ )- $R^1$  has one of the meanings mentioned above and in particular one of the meanings mentioned as being preferred.

5 R<sup>8</sup> is in particular halogen, especially fluorine, C<sub>1</sub>-C<sub>4</sub>-alkoxy or C<sub>1</sub>-C<sub>4</sub>-alkyl.

In the groups OR<sup>10</sup>, SR<sup>10</sup>, NR<sup>11</sup>R<sup>12</sup>, C(W)R<sup>13</sup>, C(=N-OR<sup>15</sup>)R<sup>14</sup>, NHC(W)R<sup>16</sup>, C(W)R<sup>17</sup> and NR<sup>18</sup>R<sup>19</sup>, the variables have in particular the meanings indicated below:

10  $R^{10}$  is in particular H,  $C_1$ - $C_4$ -alkyl, C(O)H or  $C_1$ - $C_4$ -alkylcarbonyl. OR<sup>10</sup> is in particular OH,  $C_1$ - $C_4$ -alkoxy, O-C(O)H or  $C_1$ - $C_4$ -alkylcarbonyloxy. OR<sup>10</sup> is in particular SH or S- $C_1$ - $C_4$ -alkyl.

R<sup>11</sup> and R<sup>12</sup> are in particular H, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkylcarbonyl or C<sub>1</sub>-C<sub>4</sub>-alkyl(thiocarbonyl). NR<sup>11</sup>R<sup>12</sup> is in particular NH<sub>2</sub>, NHCH<sub>3</sub>, NHC<sub>2</sub>H<sub>5</sub>, N(CH<sub>3</sub>)<sub>2</sub>, N(C<sub>2</sub>H<sub>5</sub>)CH<sub>3</sub>, NHC(O)CH<sub>3</sub> or NHC(O)H.

 $R^{13}$  is in particular H,  $C_1$ - $C_4$ -alkyl, OH, NH<sub>2</sub>, NHCH<sub>3</sub>, NHC<sub>2</sub>H<sub>5</sub>, N(CH<sub>3</sub>)<sub>2</sub>, N(C<sub>2</sub>H<sub>5</sub>)CH<sub>3</sub> or  $C_1$ - $C_4$ -alkoxy.

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R<sup>14</sup> is in particular C<sub>1</sub>-C<sub>4</sub>-alkyl.

R<sup>15</sup> is in particular C<sub>1</sub>-C<sub>4</sub>-alkyl.

25 R<sup>16</sup> is in particular hydrogen or C<sub>1</sub>-C<sub>4</sub>-alkyl.

 $R^{17}$  is in particular H,  $C_1$ - $C_4$ -alkyl or  $C_1$ - $C_4$ -alkoxy.

 $R^{18}$  and  $R^{19}$  are in particular H,  $C_1$ - $C_4$ -alkyl,  $C_1$ - $C_4$ -alkylcarbonyl or  $C_1$ - $C_4$ -30 alkyl(thiocarbonyl).  $NR^{18}R^{19}$  is in particular  $NH_2$ ,  $NHCH_3$ ,  $NHC_2H_5$ ,  $N(CH_3)_2$ ,  $N(C_2H_5)CH_3$ ,  $NHC(O)CH_3$  or NHC(O)H.

Particularly preferred compounds of the formula I are the triazolopyrimidines of the formula I-A in which R³ is 2-fluoro-6-chlorophenyl, R⁴ is chlorine, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A1). Examples of these are the compounds I-A1.1 to I-A1.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

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Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R³ is 2,6-difluorophenyI, R⁴ is chlorine, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A2). Examples of these are the compounds I-A2.1 to I-A2.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R³ is 2,6-dichlorophenyl, R⁴ is chlorine, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A3). Examples of these are the compounds I-A3.1 to I-A3.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R³ is 2-fluoro-6-methylphenyl, R⁴ is chlorine, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A4). Examples of these are the compounds I-A4.1 to I-A4.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R³ is 2,4,6-trifluorophenyl, R⁴ is chlorine, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A5). Examples of these are the compounds I-A5.1 to I-A5.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R³ is 2,6-difluoro-4-methoxyphenyl, R⁴ is chlorine, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A6). Examples of these are the compounds I-A6.1 to I-A6.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

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Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which  $R^3$  is 2-chloro-6-methylphenyl,  $R^4$  is chlorine,  $R^5$  is hydrogen and X, Y,  $R^1$  and  $R^2$  have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A7). Examples of these are the

compounds I-A7.1 to I-A7.414 in which X-R<sup>2</sup> and Y-R<sup>1</sup> together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R³ is pentafluorophenyl, R⁴ is chlorine, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A8). Examples of these are the compounds I-A8.1 to I-A8.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

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Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R³ is 2-methyl-4-fluorophenyl, R⁴ is chlorine, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A9). Examples of these are the compounds I-A9.1 to I-A9.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R³ is 2-trifluoromethylphenyl, R⁴ is chlorine, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A10). Examples of these are the compounds I-A10.1 to I-A10.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R<sup>3</sup> is 2-methoxy-6-fluorophenyl, R<sup>4</sup> is chlorine, R<sup>5</sup> is hydrogen and X, Y, R<sup>1</sup> and R<sup>2</sup> have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A11). Examples of these are the compounds I-A11.1 to I-A11.414 in which X-R<sup>2</sup> and Y-R<sup>1</sup> together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R³ is 2-chlorophenyl, R⁴ is chlorine, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A12). Examples of these are the compounds I-A12.1 to I-A12.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R<sup>3</sup> is 2-fluorophenyl, R<sup>4</sup> is chlorine, R<sup>5</sup> is hydrogen and X, Y, R<sup>1</sup> and R<sup>2</sup> have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A13). Examples of these are the compounds I-A13.1 to I-A13.414 in which X-R<sup>2</sup> and Y-R<sup>1</sup> together have in each case the meanings given in one row of Table A.

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Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R³ is 2,4-difluorophenyl, R⁴ is chlorine, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A14). Examples of these are the compounds I-A14.1 to I-A14.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R³ is 2-fluoro-4-chlorophenyl, R⁴ is chlorine, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A15). Examples of these are the compounds I-A15.1 to I-A15.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R³ is 4-fluoro-6-chlorophenyl, R⁴ is chlorine, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A16). Examples of these are the compounds I-A16.1 to I-A16.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R³ is 2,3-difluorophenyl, R⁴ is chlorine, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A17). Examples of these are the compounds I-A17.1 to I-A17.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R<sup>3</sup> is 2,5-difluorophenyl, R<sup>4</sup> is chlorine, R<sup>5</sup> is hydrogen and X, Y, R<sup>1</sup> and R<sup>2</sup> have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A18). Examples of these are the compounds I-A18.1 to I-A18.414 in which X-R<sup>2</sup> and Y-R<sup>1</sup> together have in each case the meanings given in one row of Table A.

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Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R³ is 2,3,4-trifluorophenyl, R⁴ is chlorine, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A19). Examples of these are the compounds I-A19.1 to I-A19.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R³ is 2-methylphenyl, R⁴ is chlorine, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A20). Examples of these are the compounds I-A20.1 to I-A20.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R³ is 2,4-dimethylphenyl, R⁴ is chlorine, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A21). Examples of these are the compounds I-A21.1 to I-A21.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R³ is 2-methyl-4-chlorophenyl, R⁴ is chlorine, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A22). Examples of these are the compounds I-A22.1 to I-A22.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R³ is 2-fluoro-4-methylphenyl, R⁴ is chlorine, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A23). Examples of these are the compounds I-A23.1 to I-A23.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

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Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R<sup>3</sup> is 2,6-dimethylphenyl, R<sup>4</sup> is chlorine, R<sup>5</sup> is hydrogen and X, Y, R<sup>1</sup> and R<sup>2</sup> have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A24). Examples of these are the

compounds I-A24.1 to I-A24.414 in which X-R<sup>2</sup> and Y-R<sup>1</sup> together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R³ is 2,4,5-trimethylphenyl, R⁴ is chlorine, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A25). Examples of these are the compounds I-A25.1 to I-A25.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

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Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R³ is 2,6-difluoro-4-cyanophenyl, R⁴ is chlorine, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A26). Examples of these are the compounds I-A26.1 to I-A26.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R³ is 2,6-difluoro-4-methylphenyl, R⁴ is chlorine, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A27). Examples of these are the compounds I-A27.1 to I-A27.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

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Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R³ is 2,6-difluoro-4-methoxycarbonylphenyl, R⁴ is chlorine, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A28). Examples of these are the compounds I-A28.1 to I-A28.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R³ is 2-trifluoromethyl-4-fluorophenyl, R⁴ is chlorine, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A29). Examples of these are the compounds I-A29.1 to I-A29.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R<sup>3</sup> is 2-trifluoromethyl-5-fluorophenyl, R<sup>4</sup> is chlorine, R<sup>5</sup> is

hydrogen and X, Y, R<sup>1</sup> and R<sup>2</sup> have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A30). Examples of these are the compounds I-A30.1 to I-A30.414 in which X-R<sup>2</sup> and Y-R<sup>1</sup> together have in each case the meanings given in one row of Table A.

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Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R³ is 2-trifluoromethyl-5-chlorophenyl, R⁴ is chlorine, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A31). Examples of these are the compounds I-A31.1 to I-A31.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are the triazolopyrimidines of the formula I-A in which R³ is 2-fluoro-6-chlorophenyl, R⁴ is methyl, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A32). Examples of these are the compounds I-A32.1 to I-A32.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R<sup>3</sup> is 2,6-difluorophenyl, R<sup>4</sup> is methyl, R<sup>5</sup> is hydrogen and X, Y, R<sup>1</sup> and R<sup>2</sup> have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A33). Examples of these are the compounds I-A33.1 to I-A33.414 in which X-R<sup>2</sup> and Y-R<sup>1</sup> together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R³ is 2,6-dichlorophenyl, R⁴ is methyl, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A34). Examples of these are the compounds I-A34.1 to I-A34.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R³ is 2-fluoro-6-methylphenyl, R⁴ is methyl, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A35). Examples of these are the compounds I-A35.1 to I-A35.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

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Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R³ is 2,4,6-trifluorophenyl, R⁴ is methyl, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A36). Examples of these are the compounds I-A36.1 to I-A36.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R³ is 2,6-difluoro-4-methoxyphenyl, R⁴ is methyl, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A37). Examples of these are the compounds I-A37.1 to I-A37.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R³ is 2-chloro-6-methylphenyl, R⁴ is methyl, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A38). Examples of these are the compounds I-A38.1 to I-A38.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R³ is pentafluorophenyl, R⁴ is methyl, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A39). Examples of these are the compounds I-A39.1 to I-A39.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R³ is 2-methyl-4-fluorophenyl, R⁴ is methyl, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A40). Examples of these are the compounds I-A40.1 to I-A40.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

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Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R<sup>3</sup> is 2-trifluoromethylphenyl, R<sup>4</sup> is methyl, R<sup>5</sup> is hydrogen and X, Y, R<sup>1</sup> and R<sup>2</sup> have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A41). Examples of these are the

compounds I-A41.1 to I-A41.414 in which X-R<sup>2</sup> and Y-R<sup>1</sup> together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R³ is 2-methoxy-6-fluorophenyl, R⁴ is methyl, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A42). Examples of these are the compounds I-A42.1 to I-A42.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

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Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R<sup>3</sup> is 2-chlorophenyl, R<sup>4</sup> is methyl, R<sup>5</sup> is hydrogen and X, Y, R<sup>1</sup> and R<sup>2</sup> have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A43). Examples of these are the compounds I-A43.1 to I-A43.414 in which X-R<sup>2</sup> and Y-R<sup>1</sup> together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R<sup>3</sup> is 2-fluorophenyl, R<sup>4</sup> is methyl, R<sup>5</sup> is hydrogen and X, Y, R<sup>1</sup> and R<sup>2</sup> have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A44). Examples of these are the compounds I-A44.1 to I-A44.414 in which X-R<sup>2</sup> and Y-R<sup>1</sup> together have in each case the meanings given in one row of Table A.

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Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R³ is 2,4-difluorophenyl, R⁴ is methyl, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A45). Examples of these are the compounds I-A45.1 to I-A45.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R³ is 2-fluoro-4-chlorophenyl, R⁴ is methyl, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A46). Examples of these are the compounds I-A46.1 to I-A46.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R<sup>3</sup> is 4-fluoro-6-chlorophenyl, R<sup>4</sup> is methyl, R<sup>5</sup> is hydrogen and

X, Y, R<sup>1</sup> and R<sup>2</sup> have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A47). Examples of these are the compounds I-A47.1 to I-A47.414 in which X-R<sup>2</sup> and Y-R<sup>1</sup> together have in each case the meanings given in one row of Table A.

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Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R³ is 2,3-difluorophenyl, R⁴ is methyl, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A48). Examples of these are the compounds I-A48.1 to I-A48.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R³ is 2,5-difluorophenyl, R⁴ is methyl, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A49). Examples of these are the compounds I-A49.1 to I-A49.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R³ is 2,3,4-trifluorophenyl, R⁴ is methyl, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A50). Examples of these are the compounds I-A50.1 to I-A50.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R<sup>3</sup> is 2-methylphenyl, R<sup>4</sup> is methyl, R<sup>5</sup> is hydrogen and X, Y, R<sup>1</sup> and R<sup>2</sup> have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A51). Examples of these are the compounds I-A51.1 to I-A51.414 in which X-R<sup>2</sup> and Y-R<sup>1</sup> together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R³ is 2,4-dimethylphenyl, R⁴ is methyl, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A51). Examples of these are the compounds I-A51.1 to I-A51.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

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Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R³ is 2-methyl-4-chlorophenyl, R⁴ is methyl, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A52). Examples of these are the compounds I-A52.1 to I-A52.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R³ is 2-fluoro-4-methylphenyl, R⁴ is methyl, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A53). Examples of these are the compounds I-A53.1 to I-A53.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R<sup>3</sup> is 2,6-dimethylphenyl, R<sup>4</sup> is methyl, R<sup>5</sup> is hydrogen and X, Y, R<sup>1</sup> and R<sup>2</sup> have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A54). Examples of these are the compounds I-A54.1 to I-A54.414 in which X-R<sup>2</sup> and Y-R<sup>1</sup> together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R³ is 2,4,5-trimethylphenyl, R⁴ is methyl, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A55). Examples of these are the compounds I-A55.1 to I-A55.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R³ is 2,6-difluoro-4-cyanophenyI, R⁴ is methyl, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A56). Examples of these are the compounds I-A56.1 to I-A56.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

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Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R<sup>3</sup> is 2,6-difluoro-4-methylphenyl, R<sup>4</sup> is methyl, R<sup>5</sup> is hydrogen and X, Y, R<sup>1</sup> and R<sup>2</sup> have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A57). Examples of these are

the compounds I-A57.1 to I-A57.414 in which X-R<sup>2</sup> and Y-R<sup>1</sup> together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R³ is 2,6-difluoro-4-methoxycarbonylphenyl, R⁴ is methyl, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A58). Examples of these are the compounds I-A58.1 to I-A58.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

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Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R³ is 2-trifluoromethyl-4-fluorophenyl, R⁴ is methyl, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A59). Examples of these are the compounds I-A59.1 to I-A59.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R³ is 2-trifluoromethyl-5-fluorophenyl, R⁴ is methyl, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A60). Examples of these are the compounds I-A60.1 to I-A60.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

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Particularly preferred compounds of the formula I are also the triazolopyrimidines of the formula I-A in which R³ is 2-trifluoromethyl-5-chlorophenyl, R⁴ is methyl, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-A61). Examples of these are the compounds I-A61.1 to I-A61.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are the pyrazolopyrimidines of the formula I-B in which R³ is 2-fluoro-6-chlorophenyl, R⁴ is chlorine, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B1). Examples of these are the compounds I-B1.1 to I-B1.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R<sup>3</sup> is 2,6-difluorophenyl, R<sup>4</sup> is chlorine, R<sup>5</sup> is hydrogen and X, Y, R<sup>1</sup> and R<sup>2</sup> have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B2). Examples of these are the compounds I-B2.1 to I-B2.414 in which X-R<sup>2</sup> and Y-R<sup>1</sup> together have in each case the meanings given in one row of Table A.

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Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R³ is 2,6-dichlorophenyl, R⁴ is chlorine, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B3). Examples of these are the compounds I-B3.1 to I-B3.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R³ is 2-fluoro-6-methylphenyl, R⁴ is chlorine, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B4). Examples of these are the compounds I-B4.1 to I-B4.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R³ is 2,4,6-trifluorophenyl, R⁴ is chlorine, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B5). Examples of these are the compounds I-B5.1 to I-B5.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R³ is 2,6-difluoro-4-methoxyphenyl, R⁴ is chlorine, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B6). Examples of these are the compounds I-B6.1 to I-B6.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R<sup>3</sup> is 2-chloro-6-methylphenyl, R<sup>4</sup> is chlorine, R<sup>5</sup> is hydrogen and X, Y, R<sup>1</sup> and R<sup>2</sup> have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B7). Examples of these are the compounds I-B7.1 to I-B7.414 in which X-R<sup>2</sup> and Y-R<sup>1</sup> together have in each case the meanings given in one row of Table A.

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Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R³ is pentafluorophenyl, R⁴ is chlorine, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B8). Examples of these are the compounds I-B8.1 to I-B8.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R³ is 2-methyl-4-fluorophenyl, R⁴ is chlorine, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B9). Examples of these are the compounds I-B9.1 to I-B9.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R<sup>3</sup> is 2-trifluoromethylphenyl, R<sup>4</sup> is chlorine, R<sup>5</sup> is hydrogen and X, Y, R<sup>1</sup> and R<sup>2</sup> have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B10). Examples of these are the compounds I-B10.1 to I-B10.414 in which X-R<sup>2</sup> and Y-R<sup>1</sup> together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R³ is 2-methoxy-6-fluorophenyI, R⁴ is chlorine, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B11). Examples of these are the compounds I-B11.1 to I-B11.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R³ is 2-chlorophenyl, R⁴ is chlorine, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B12). Examples of these are the compounds I-B12.1 to I-B12.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R<sup>3</sup> is 2-fluorophenyl, R<sup>4</sup> is chlorine, R<sup>5</sup> is hydrogen and X, Y, R<sup>1</sup> and R<sup>2</sup> have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B13). Examples of these are the

compounds I-B13.1 to I-B13.414 in which X-R<sup>2</sup> and Y-R<sup>1</sup> together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R<sup>3</sup> is 2,4-difluorophenyl, R<sup>4</sup> is chlorine, R<sup>5</sup> is hydrogen and X, Y, R<sup>1</sup> and R<sup>2</sup> have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B14). Examples of these are the compounds I-B14.1 to I-B14.414 in which X-R<sup>2</sup> and Y-R<sup>1</sup> together have in each case the meanings given in one row of Table A.

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Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R³ is 2-fluoro-4-chlorophenyl, R⁴ is chlorine, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B15). Examples of these are the compounds I-B15.1 to I-B15.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R³ is 4-fluoro-6-chlorophenyl, R⁴ is chlorine, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B16). Examples of these are the compounds I-B16.1 to I-B16.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

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Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R³ is 2,3-difluorophenyl, R⁴ is chlorine, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B17). Examples of these are the compounds I-B17.1 to I-B17.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R³ is 2,5-difluorophenyl, R⁴ is chlorine, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B18). Examples of these are the compounds I-B18.1 to I-B18.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of 40 the formula I-B in which R<sup>3</sup> is 2,3,4-trifluorophenyl, R<sup>4</sup> is chlorine, R<sup>5</sup> is hydrogen and X, Y, R<sup>1</sup> and R<sup>2</sup> have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B19). Examples of these are the compounds I-B19.1 to I-B19.414 in which X-R<sup>2</sup> and Y-R<sup>1</sup> together have in each case the meanings given in one row of Table A.

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Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R³ is 2-methylphenyl, R⁴ is chlorine, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B20). Examples of these are the compounds I-B20.1 to I-B20.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R³ is 2,4-dimethylphenyl, R⁴ is chlorine, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B21). Examples of these are the compounds I-B21.1 to I-B21.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R<sup>3</sup> is 2-methyl-4-chlorophenyl, R<sup>4</sup> is chlorine, R<sup>5</sup> is hydrogen and X, Y, R<sup>1</sup> and R<sup>2</sup> have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B22). Examples of these are the compounds I-B22.1 to I-B22.414 in which X-R<sup>2</sup> and Y-R<sup>1</sup> together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R³ is 2-fluoro-4-methylphenyl, R⁴ is chlorine, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B23). Examples of these are the compounds I-B23.1 to I-B23.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R<sup>3</sup> is 2,6-dimethylphenyl, R<sup>4</sup> is chlorine, R<sup>5</sup> is hydrogen and X, Y, R<sup>1</sup> and R<sup>2</sup> have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B24). Examples of these are the compounds I-B24.1 to I-B24.414 in which X-R<sup>2</sup> and Y-R<sup>1</sup> together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R³ is 2,4,5-trimethylphenyl, R⁴ is chlorine, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B25). Examples of these are the compounds I-B25.1 to I-B25.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R³ is 2,6-difluoro-4-cyanophenyI, R⁴ is chlorine, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B26). Examples of these are the compounds I-B26.1 to I-B26.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R³ is 2,6-difluoro-4-methylphenyl, R⁴ is chlorine, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B27). Examples of these are the compounds I-B27.1 to I-B27.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R³ is 2,6-difluoro-4-methoxycarbonylphenyl, R⁴ is chlorine, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B28). Examples of these are the compounds I-B28.1 to I-B28.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R<sup>3</sup> is 2-trifluoromethyl-4-fluorophenyl, R<sup>4</sup> is chlorine, R<sup>5</sup> is hydrogen and X, Y, R<sup>1</sup> and R<sup>2</sup> have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B29). Examples of these are the compounds I-B29.1 to I-B29.414 in which X-R<sup>2</sup> and Y-R<sup>1</sup> together have in each case the meanings given in one row of Table A.

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Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R<sup>3</sup> is 2-trifluoromethyl-5-fluorophenyl, R<sup>4</sup> is chlorine, R<sup>5</sup> is hydrogen and X, Y, R<sup>1</sup> and R<sup>2</sup> have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B30). Examples of these

are the compounds I-B30.1 to I-B30.414 in which X-R<sup>2</sup> and Y-R<sup>1</sup> together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R³ is 2-trifluoromethyl-5-chlorophenyl, R⁴ is chlorine, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B31). Examples of these are the compounds I-B31.1 to I-B31.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

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Particularly preferred compounds of the formula I are the pyrazolopyrimidines of the formula I-B in which R<sup>3</sup> is 2-fluoro-6-chlorophenyI, R<sup>4</sup> is methyI, R<sup>5</sup> is hydrogen and X, Y, R<sup>1</sup> and R<sup>2</sup> have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B32). Examples of these are the compounds I-B32.1 to I-B32.414 in which X-R<sup>2</sup> and Y-R<sup>1</sup> together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R<sup>3</sup> is 2,6-difluorophenyl, R<sup>4</sup> is methyl, R<sup>5</sup> is hydrogen and X, Y, R<sup>1</sup> and R<sup>2</sup> have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B33). Examples of these are the compounds I-B33.1 to I-B33.414 in which X-R<sup>2</sup> and Y-R<sup>1</sup> together have in each case the meanings given in one row of Table A.

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Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R³ is 2,6-dichlorophenyl, R⁴ is methyl, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B34). Examples of these are the compounds I-B34.1 to I-B34.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

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Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R³ is 2-fluoro-6-methylphenyl, R⁴ is methyl, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B35). Examples of these are the compounds I-B35.1 to I-B35.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which  $R^3$  is 2,4,6-trifluorophenyl,  $R^4$  is methyl,  $R^5$  is hydrogen and X,

Y, R<sup>1</sup> and R<sup>2</sup> have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B36). Examples of these are the compounds I-B36.1 to I-B36.414 in which X-R<sup>2</sup> and Y-R<sup>1</sup> together have in each case the meanings given in one row of Table A.

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Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R³ is 2,6-difluoro-4-methoxyphenyl, R⁴ is methyl, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B37). Examples of these are the compounds I-B37.1 to I-B37.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R³ is 2-chloro-6-methylphenyl, R⁴ is methyl, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B38). Examples of these are the compounds I-B38.1 to I-B38.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R<sup>3</sup> is pentafluorophenyl, R<sup>4</sup> is methyl, R<sup>5</sup> is hydrogen and X, Y, R<sup>1</sup> and R<sup>2</sup> have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B39). Examples of these are the compounds I-B39.1 to I-B39.414 in which X-R<sup>2</sup> and Y-R<sup>1</sup> together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R³ is 2-methyl-4-fluorophenyl, R⁴ is methyl, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B40). Examples of these are the compounds I-B40.1 to I-B40.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R<sup>3</sup> is 2-trifluoromethylphenyl, R<sup>4</sup> is methyl, R<sup>5</sup> is hydrogen and X, Y, R<sup>1</sup> and R<sup>2</sup> have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B41). Examples of these are the compounds I-B41.1 to I-B41.414 in which X-R<sup>2</sup> and Y-R<sup>1</sup> together have in each case the meanings given in one row of Table A.

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Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which  $R^3$  is 2-methoxy-6-fluorophenyl,  $R^4$  is methyl,  $R^5$  is hydrogen and X, Y,  $R^1$  and  $R^2$  have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B42). Examples of these are the compounds I-B42.1 to I-B42.414 in which X- $R^2$  and Y- $R^1$  together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R<sup>3</sup> is 2-chlorophenyl, R<sup>4</sup> is methyl, R<sup>5</sup> is hydrogen and X, Y, R<sup>1</sup> and R<sup>2</sup> have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B43). Examples of these are the compounds I-B43.1 to I-B43.414 in which X-R<sup>2</sup> and Y-R<sup>1</sup> together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R<sup>3</sup> is 2-fluorophenyl, R<sup>4</sup> is methyl, R<sup>5</sup> is hydrogen and X, Y, R<sup>1</sup> and R<sup>2</sup> have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B44). Examples of these are the compounds I-B44.1 to I-B44.414 in which X-R<sup>2</sup> and Y-R<sup>1</sup> together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R<sup>3</sup> is 2,4-difluorophenyl, R<sup>4</sup> is methyl, R<sup>5</sup> is hydrogen and X, Y, R<sup>1</sup> and R<sup>2</sup> have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B45). Examples of these are the compounds I-B45.1 to I-B45.414 in which X-R<sup>2</sup> and Y-R<sup>1</sup> together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R<sup>3</sup> is 2-fluoro-4-chlorophenyl, R<sup>4</sup> is methyl, R<sup>5</sup> is hydrogen and X, Y, R<sup>1</sup> and R<sup>2</sup> have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B46). Examples of these are the compounds I-B46.1 to I-B46.414 in which X-R<sup>2</sup> and Y-R<sup>1</sup> together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R<sup>3</sup> is 4-fluoro-6-chlorophenyl, R<sup>4</sup> is methyl, R<sup>5</sup> is hydrogen and X, Y, R<sup>1</sup> and R<sup>2</sup> have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B47). Examples of these are the

compounds I-B47.1 to I-B47.414 in which X-R<sup>2</sup> and Y-R<sup>1</sup> together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R³ is 2,3-difluorophenyl, R⁴ is methyl, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B48). Examples of these are the compounds I-B48.1 to I-B48.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

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Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R³ is 2,5-difluorophenyl, R⁴ is methyl, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B49). Examples of these are the compounds I-B49.1 to I-B49.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R³ is 2,3,4-trifluorophenyl, R⁴ is methyl, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B50). Examples of these are the compounds I-B50.1 to I-B50.414 in which X-R² and Ý-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R³ is 2-methylphenyl, R⁴ is methyl, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-Bs). Examples of these are the compounds I-B51.1 to I-B51.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which  $R^3$  is 2,4-dimethylphenyl,  $R^4$  is methyl,  $R^5$  is hydrogen and X, Y,  $R^1$  and  $R^2$  have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B51). Examples of these are the compounds I-B51.1 to I-B51.414 in which X- $R^2$  and Y- $R^1$  together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of 40 the formula I-B in which R<sup>3</sup> is 2-methyl-4-chlorophenyl, R<sup>4</sup> is methyl, R<sup>5</sup> is hydrogen and X, Y, R<sup>1</sup> and R<sup>2</sup> have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B52). Examples of these are the compounds I-B52.1 to I-B52.414 in which X-R<sup>2</sup> and Y-R<sup>1</sup> together have in each case the meanings given in one row of Table A.

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Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R³ is 2-fluoro-4-methylphenyl, R⁴ is methyl, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B53). Examples of these are the compounds I-B53.1 to I-B53.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R³ is 2,6-dimethylphenyl, R⁴ is methyl, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B54). Examples of these are the compounds I-B54.1 to I-B54.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R<sup>3</sup> is 2,4,5-trimethylphenyl, R<sup>4</sup> is methyl, R<sup>5</sup> is hydrogen and X, Y, R<sup>1</sup> and R<sup>2</sup> have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B55). Examples of these are the compounds I-B55.1 to I-B55.414 in which X-R<sup>2</sup> and Y-R<sup>1</sup> together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R<sup>3</sup> is 2,6-difluoro-4-cyanophenyI, R<sup>4</sup> is methyl, R<sup>5</sup> is hydrogen and X, Y, R<sup>1</sup> and R<sup>2</sup> have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B56). Examples of these are the compounds I-B56.1 to I-B56.414 in which X-R<sup>2</sup> and Y-R<sup>1</sup> together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R<sup>3</sup> is 2,6-difluoro-4-methylphenyl, R<sup>4</sup> is methyl, R<sup>5</sup> is hydrogen and X, Y, R<sup>1</sup> and R<sup>2</sup> have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B57). Examples of these are the compounds I-B57.1 to I-B57.414 in which X-R<sup>2</sup> and Y-R<sup>1</sup> together have in each case the meanings given in one row of Table A.

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Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R³ is 2,6-difluoro-4-methoxycarbonylphenyl, R⁴ is methyl, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B58). Examples of these are the compounds I-B58.1 to I-B58.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R³ is 2-trifluoromethyl-4-fluorophenyl, R⁴ is methyl, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B59). Examples of these are the compounds I-B59.1 to I-B59.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R³ is 2-trifluoromethyl-5-fluorophenyl, R⁴ is methyl, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B60). Examples of these are the compounds I-B60.1 to I-B60.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

Particularly preferred compounds of the formula I are also the pyrazolopyrimidines of the formula I-B in which R³ is 2-trifluoromethyl-5-chlorophenyl, R⁴ is methyl, R⁵ is hydrogen and X, Y, R¹ and R² have the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds I-B61). Examples of these are the compounds I-B61.1 to I-B61.414 in which X-R² and Y-R¹ together have in each case the meanings given in one row of Table A.

## Table A:

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No.	Y-R <sup>1</sup>	X-R <sup>2</sup>
1	Н	Н
2	CH₃	Н
3	CH₃	CH₃
4	CH₃	CH₂CH₃
5	CH₃	CI
6	CH <sub>3</sub>	OCH₃
7	CH₃	OC₂H₅

No.	Y-R <sup>1</sup>	X-R <sup>2</sup>
8	CH₃	N(CH <sub>3</sub> ) <sub>2</sub>
9	CH <sub>3</sub>	N(CH₃)C₂H₅
10	CH <sub>3</sub>	N(CH <sub>3</sub> )C(O)CH <sub>3</sub>
11	CH₂CH₃	Н
12	CH₂CH₃	CH₃
13	CH₂CH₃	CH₂CH₃
14	CH₂CH₃	CI
15	CH₂CH₃	OCH₃
16	CH₂CH₃	OC <sub>2</sub> H <sub>5</sub>
17	CH₂CH₃	N(CH <sub>3</sub> ) <sub>2</sub>
18	CH₂CH₃	N(CH <sub>3</sub> )C <sub>2</sub> H <sub>5</sub>
19	CH₂CH₃	N(CH <sub>3</sub> )C(O)CH <sub>3</sub>
20	CH <sub>2</sub> CF <sub>3</sub>	Н
21	CH <sub>2</sub> CF <sub>3</sub>	CH₃
22	CH₂CF₃	CH₂CH₃
23	CH₂CF₃	CI
24	CH₂CF₃	OCH₃
25	CH₂CF₃	OC <sub>2</sub> H <sub>5</sub>
26	CH₂CF₃	N(CH <sub>3</sub> ) <sub>2</sub>
27	CH₂CF₃	N(CH₃)C₂H₅
28	CH <sub>2</sub> CF <sub>3</sub>	N(CH₃)C(O)CH₃
29	CH <sub>2</sub> CCl <sub>3</sub>	Н
30	CH₂CCI₃	CH₃
31	CH₂CCI₃	CH₂CH₃
32	CH₂CCI₃	Cl
33	CH₂CCl₃	OCH₃
34	CH₂CCI₃	OC₂H₅
35	CH₂CCI₃	N(CH₃)₂
36	CH₂CCI₃	N(CH <sub>3</sub> )C <sub>2</sub> H <sub>5</sub>
37	CH₂CCI₃	N(CH <sub>3</sub> )C(O)CH <sub>3</sub>

No.	Y-R <sup>1</sup>	X-R²
38	CH <sub>2</sub> CH <sub>2</sub> CH <sub>3</sub>	Н
39	CH₂CH₂CH₃	CH₃
40	CH <sub>2</sub> CH <sub>2</sub> CH <sub>3</sub>	CH₂CH₃
41	CH₂CH₂CH₃	CH₂CH₂CH₃
42	CH₂CH₂CH₃	CI
43	CH₂CH₂CH₃	OCH₃
44	CH₂CH₂CH₃	OC₂H₅
45	CH₂CH₂CH₃	N(CH <sub>3</sub> ) <sub>2</sub>
46	CH₂CH₂CH₃	N(CH₃)C₂H₅
47	CH₂CH₂CH₃	N(CH <sub>3</sub> )C(O)CH <sub>3</sub>
48	CH(CH₃)₂	Н
49	CH(CH₃)₂	CH₃
50	CH(CH₃)₂	CH₂CH₃
51	CH(CH₃)₂	CI
52	CH(CH₃)₂	OCH₃
53	CH(CH₃)₂	OC₂H₅
54	CH(CH₃)₂	N(CH₃)₂
55	CH(CH₃)₂	N(CH₃)C₂H₅
56	CH(CH₃)₂	N(CH <sub>3</sub> )C(O)CH <sub>3</sub>
57	(±) CH(CH <sub>3</sub> )-CH <sub>2</sub> CH <sub>3</sub>	Н
58	(±) CH(CH <sub>3</sub> )-CH <sub>2</sub> CH <sub>3</sub>	CH₃
59	(±) CH(CH <sub>3</sub> )-CH <sub>2</sub> CH <sub>3</sub>	CH₂CH₃
60	(±) CH(CH <sub>3</sub> )-CH <sub>2</sub> CH <sub>3</sub>	CI
61	(±) CH(CH <sub>3</sub> )-CH <sub>2</sub> CH <sub>3</sub>	OCH₃
62	(±) CH(CH <sub>3</sub> )-CH <sub>2</sub> CH <sub>3</sub>	OC₂H₅
63	(±) CH(CH₃)-CH₂CH₃	N(CH <sub>3</sub> ) <sub>2</sub>
64	(±) CH(CH₃)-CH₂CH₃	N(CH₃)C₂H₅
65	(±) CH(CH₃)-CH₂CH₃	N(CH <sub>3</sub> )C(O)CH <sub>3</sub>
66	(S) CH(CH <sub>3</sub> )-CH <sub>2</sub> CH <sub>3</sub>	Н
67	(S) CH(CH₃)-CH₂CH₃	CH₃

No.	Y-R <sup>1</sup>	X-R <sup>2</sup>
68	(S) CH(CH <sub>3</sub> )-CH <sub>2</sub> CH <sub>3</sub>	CH₂CH₃
69	(S) CH(CH₃)-CH₂CH₃	CI
70	(S) CH(CH₃)-CH₂CH₃	OCH₃
71	(S) CH(CH₃)-CH₂CH₃	OC₂H₅
72	(S) CH(CH₃)-CH₂CH₃	N(CH <sub>3</sub> ) <sub>2</sub>
73	(S) CH(CH₃)-CH₂CH₃	N(CH <sub>3</sub> )C <sub>2</sub> H <sub>5</sub>
74	(S) CH(CH <sub>3</sub> )-CH <sub>2</sub> CH <sub>3</sub>	N(CH <sub>3</sub> )C(O)CH <sub>3</sub>
75	(R) CH(CH₃)-CH₂CH₃	Н
76	(R) CH(CH <sub>3</sub> )-CH <sub>2</sub> CH <sub>3</sub>	CH₃
77	(R) CH(CH₃)-CH₂CH₃	CH₂CH₃
78	(R) CH(CH₃)-CH₂CH₃	CI
79	(R) CH(CH <sub>3</sub> )-CH <sub>2</sub> CH <sub>3</sub>	OCH₃
80	(R) CH(CH₃)-CH₂CH₃	OC₂H₅
81	(R) CH(CH₃)-CH₂CH₃	N(CH <sub>3</sub> ) <sub>2</sub>
82	(R) CH(CH₃)-CH₂CH₃	N(CH <sub>3</sub> )C <sub>2</sub> H <sub>5</sub>
83	(R) CH(CH₃)-CH₂CH₃	N(CH₃)C(O)CH₃
84	(±) CH(CH <sub>3</sub> )-CH(CH <sub>3</sub> ) <sub>2</sub>	Н
85	(±) CH(CH₃)-CH(CH₃)₂	CH₃
86	(±) CH(CH <sub>3</sub> )-CH(CH <sub>3</sub> ) <sub>2</sub>	CH₂CH₃
87	(±) CH(CH₃)-CH(CH₃)₂	CI
88	(±) CH(CH <sub>3</sub> )-CH(CH <sub>3</sub> ) <sub>2</sub>	OCH₃
89	(±) CH(CH <sub>3</sub> )-CH(CH <sub>3</sub> ) <sub>2</sub>	OC₂H₅
90	(±) CH(CH <sub>3</sub> )-CH(CH <sub>3</sub> ) <sub>2</sub>	N(CH <sub>3</sub> ) <sub>2</sub>
91	(±) CH(CH <sub>3</sub> )-CH(CH <sub>3</sub> ) <sub>2</sub>	N(CH <sub>3</sub> )C <sub>2</sub> H <sub>5</sub>
92	(±) CH(CH <sub>3</sub> )-CH(CH <sub>3</sub> ) <sub>2</sub>	N(CH <sub>3</sub> )C(O)CH <sub>3</sub>
93	(S) CH(CH <sub>3</sub> )-CH(CH <sub>3</sub> ) <sub>2</sub>	Н
94	(S) CH(CH <sub>3</sub> )-CH(CH <sub>3</sub> ) <sub>2</sub>	CH₃
95	(S) CH(CH <sub>3</sub> )-CH(CH <sub>3</sub> ) <sub>2</sub>	CH₂CH₃
96	(S) CH(CH₃)-CH(CH₃)₂	CI
97	(S) CH(CH <sub>3</sub> )-CH(CH <sub>3</sub> ) <sub>2</sub>	OCH₃

No.	Y-R <sup>1</sup>	X-R²
98	(S) CH(CH₃)-CH(CH₃)₂	OC₂H₅
99	(S) CH(CH₃)-CH(CH₃)₂	N(CH <sub>3</sub> ) <sub>2</sub>
100	(S) CH(CH₃)-CH(CH₃)₂	N(CH₃)C₂H₅
101	(S) CH(CH₃)-CH(CH₃)₂	N(CH <sub>3</sub> )C(O)CH <sub>3</sub>
102	(R) CH(CH₃)-CH(CH₃)₂	Н
103	(R) CH(CH₃)-CH(CH₃)₂	CH₃
104	(R) CH(CH₃)-CH(CH₃)₂	CH₂CH₃
105	(R) CH(CH₃)-CH(CH₃)₂	Cl
106	(R) CH(CH₃)-CH(CH₃)₂	OCH₃
107	(R) CH(CH₃)-CH(CH₃)₂	OC₂H₅
108	(R) CH(CH₃)-CH(CH₃)₂	N(CH₃)₂
109	(R) CH(CH₃)-CH(CH₃)₂	N(CH <sub>3</sub> )C <sub>2</sub> H <sub>5</sub>
110	(R) CH(CH₃)-CH(CH₃)₂	N(CH <sub>3</sub> )C(O)CH <sub>3</sub>
111	(±) CH(CH <sub>3</sub> )-C(CH <sub>3</sub> ) <sub>3</sub>	Н
112	(±) CH(CH <sub>3</sub> )-C(CH <sub>3</sub> ) <sub>3</sub>	CH₃
113	(±) CH(CH <sub>3</sub> )-C(CH <sub>3</sub> ) <sub>3</sub>	CH₂CH₃
114	(±) CH(CH <sub>3</sub> )-C(CH <sub>3</sub> ) <sub>3</sub>	CI
115	(±) CH(CH <sub>3</sub> )-C(CH <sub>3</sub> ) <sub>3</sub>	OCH₃
116	(±) CH(CH <sub>3</sub> )-C(CH <sub>3</sub> ) <sub>3</sub>	OC₂H₅
117	(±) CH(CH <sub>3</sub> )-C(CH <sub>3</sub> ) <sub>3</sub>	N(CH₃)₂
118	(±) CH(CH <sub>3</sub> )-C(CH <sub>3</sub> ) <sub>3</sub>	N(CH₃)C₂H₅
119	(±) CH(CH <sub>3</sub> )-C(CH <sub>3</sub> ) <sub>3</sub>	N(CH <sub>3</sub> )C(O)CH <sub>3</sub>
120	(S) CH(CH <sub>3</sub> )-C(CH <sub>3</sub> ) <sub>3</sub>	Н
121	(S) CH(CH <sub>3</sub> )-C(CH <sub>3</sub> ) <sub>3</sub>	CH₃
122	(S) CH(CH <sub>3</sub> )-C(CH <sub>3</sub> ) <sub>3</sub>	CH₂CH₃
123	(S) CH(CH <sub>3</sub> )-C(CH <sub>3</sub> ) <sub>3</sub>	CI
124	(S) CH(CH <sub>3</sub> )-C(CH <sub>3</sub> ) <sub>3</sub>	OCH₃
125	(S) CH(CH <sub>3</sub> )-C(CH <sub>3</sub> ) <sub>3</sub>	OC₂H₅
126	(S) CH(CH <sub>3</sub> )-C(CH <sub>3</sub> ) <sub>3</sub>	N(CH <sub>3</sub> ) <sub>2</sub>
127	(S) CH(CH <sub>3</sub> )-C(CH <sub>3</sub> ) <sub>3</sub>	N(CH <sub>3</sub> )C <sub>2</sub> H <sub>5</sub>

No.	Y-R <sup>1</sup>	X-R <sup>2</sup>
128	(S) CH(CH <sub>3</sub> )-C(CH <sub>3</sub> ) <sub>3</sub>	N(CH <sub>3</sub> )C(O)CH <sub>3</sub>
129	(R) CH(CH₃)-C(CH₃)₃	Н
130	(R) CH(CH₃)-C(CH₃)₃	CH₃
131	(R) CH(CH₃)-C(CH₃)₃	CH₂CH₃
132	(R) CH(CH₃)-C(CH₃)₃	CI
133	(R) CH(CH₃)-C(CH₃)₃	OCH₃
134	(R) CH(CH₃)-C(CH₃)₃	OC₂H₅
135	(R) CH(CH₃)-C(CH₃)₃	N(CH <sub>3</sub> ) <sub>2</sub>
136	(R) CH(CH₃)-C(CH₃)₃	N(CH₃)C₂H₅
137	(R) CH(CH₃)-C(CH₃)₃	N(CH₃)C(O)CH₃
138	(±) CH(CH <sub>3</sub> )-CF <sub>3</sub>	Н
139	(±) CH(CH <sub>3</sub> )-CF <sub>3</sub>	CH₃
140	(±) CH(CH <sub>3</sub> )-CF <sub>3</sub>	CH₂CH₃
141	(±) CH(CH <sub>3</sub> )-CF <sub>3</sub>	CI
142	(±) CH(CH <sub>3</sub> )-CF <sub>3</sub>	OCH₃
143	(±) CH(CH <sub>3</sub> )-CF <sub>3</sub>	OC₂H₅
144	(±) CH(CH <sub>3</sub> )-CF <sub>3</sub>	N(CH <sub>3</sub> ) <sub>2</sub>
145	(±) CH(CH <sub>3</sub> )-CF <sub>3</sub>	N(CH₃)C₂H₅
146	(±) CH(CH <sub>3</sub> )-CF <sub>3</sub>	N(CH <sub>3</sub> )C(O)CH <sub>3</sub>
147	(S) CH(CH <sub>3</sub> )-CF <sub>3</sub>	Н
148	(S) CH(CH₃)-CF₃	CH₃
149	(S) CH(CH <sub>3</sub> )-CF <sub>3</sub>	CH₂CH₃
150	(S) CH(CH₃)-CF₃	CI
151	(S) CH(CH <sub>3</sub> )-CF <sub>3</sub>	OCH₃
152	(S) CH(CH <sub>3</sub> )-CF <sub>3</sub>	OC₂H₅
153	(S) CH(CH <sub>3</sub> )-CF <sub>3</sub>	N(CH₃)₂
154	(S) CH(CH <sub>3</sub> )-CF <sub>3</sub>	N(CH₃)C₂H₅
155	(S) CH(CH <sub>3</sub> )-CF <sub>3</sub>	N(CH <sub>3</sub> )C(O)CH <sub>3</sub>
156	(R) CH(CH <sub>3</sub> )-CF <sub>3</sub>	Н
157	(R) CH(CH₃)-CF₃	CH₃

No.	Y-R <sup>1</sup>	X-R²
158	(R) CH(CH₃)-CF₃	CH₂CH₃
159	(R) CH(CH₃)-CF₃	CI
160	(R) CH(CH <sub>3</sub> )-CF <sub>3</sub>	OCH₃
161	(R) CH(CH <sub>3</sub> )-CF <sub>3</sub>	OC₂H₅
162	(R) CH(CH <sub>3</sub> )-CF <sub>3</sub>	N(CH₃)₂
163	(R) CH(CH <sub>3</sub> )-CF <sub>3</sub>	N(CH₃)C₂H₅
164	(R) CH(CH <sub>3</sub> )-CF <sub>3</sub>	N(CH <sub>3</sub> )C(O)CH <sub>3</sub>
165	(±) CH(CH₃)-CCl₃	Н
166	(±) CH(CH₃)-CCl₃	CH₃
167	(±) CH(CH₃)-CCl₃	CH₂CH₃
168	(±) CH(CH₃)-CCl₃	CI
169	(±) CH(CH₃)-CCl₃	OCH₃
170	(±) CH(CH₃)-CCl₃	OC₂H₅
171	(±) CH(CH <sub>3</sub> )-CCl <sub>3</sub>	N(CH₃)₂
172	(±) CH(CH <sub>3</sub> )-CCl <sub>3</sub>	N(CH₃)C₂H₅
173	(±) CH(CH₃)-CCl₃	N(CH <sub>3</sub> )C(O)CH <sub>3</sub>
174	(S) CH(CH <sub>3</sub> )-CCl <sub>3</sub>	Н
175	(S) CH(CH <sub>3</sub> )-CCl <sub>3</sub>	CH₃
176	(S) CH(CH <sub>3</sub> )-CCl <sub>3</sub>	CH₂CH₃
177	(S) CH(CH <sub>3</sub> )-CCl <sub>3</sub>	CI
178	(S) CH(CH <sub>3</sub> )-CCl <sub>3</sub>	OCH₃
179	(S) CH(CH <sub>3</sub> )-CCl <sub>3</sub>	OC₂H₅
180	(S) CH(CH <sub>3</sub> )-CCl <sub>3</sub>	N(CH <sub>3</sub> ) <sub>2</sub>
181	(S) CH(CH <sub>3</sub> )-CCl <sub>3</sub>	N(CH₃)C₂H₅
182	(S) CH(CH <sub>3</sub> )-CCl <sub>3</sub>	N(CH₃)C(O)CH₃
183	(R) CH(CH <sub>3</sub> )-CCl <sub>3</sub>	Н
184	(R) CH(CH <sub>3</sub> )-CCl <sub>3</sub>	CH₃
185	(R) CH(CH <sub>3</sub> )-CCl <sub>3</sub>	CH₂CH₃
186	(R) CH(CH₃)-CCl₃	CI
187	(R) CH(CH₃)-CCl₃	OCH₃

No.	Y-R <sup>1</sup>	X-R <sup>2</sup>
188	(R) CH(CH₃)-CCl₃	OC₂H₅
189	(R) CH(CH₃)-CCl₃	N(CH₃)₂
190	(R) CH(CH₃)-CCl₃	N(CH₃)C₂H₅
191	(R) CH(CH₃)-CCl₃	N(CH₃)C(O)CH₃
192	CH <sub>2</sub> CF <sub>2</sub> CF <sub>3</sub>	Н
193	CH₂CF₂CF₃	CH₃
194	CH <sub>2</sub> CF <sub>2</sub> CF <sub>3</sub>	CH₂CH₃
195	CH <sub>2</sub> CF <sub>2</sub> CF <sub>3</sub>	CI
196	CH <sub>2</sub> CF <sub>2</sub> CF <sub>3</sub>	OCH₃
197	CH <sub>2</sub> CF <sub>2</sub> CF <sub>3</sub>	OC₂H₅
198	CH <sub>2</sub> CF <sub>2</sub> CF <sub>3</sub>	N(CH <sub>3</sub> ) <sub>2</sub>
199	CH <sub>2</sub> CF <sub>2</sub> CF <sub>3</sub>	N(CH <sub>3</sub> )C <sub>2</sub> H <sub>5</sub>
200	CH <sub>2</sub> CF <sub>2</sub> CF <sub>3</sub>	N(CH <sub>3</sub> )C(O)CH <sub>3</sub>
201	CH <sub>2</sub> (CF <sub>2</sub> ) <sub>2</sub> CF <sub>3</sub>	Н
202	CH <sub>2</sub> (CF <sub>2</sub> ) <sub>2</sub> CF <sub>3</sub>	CH₃
203	CH₂(CF₂)₂CF₃	CH₂CH₃
204	CH <sub>2</sub> (CF <sub>2</sub> ) <sub>2</sub> CF <sub>3</sub>	Cl
205	CH <sub>2</sub> (CF <sub>2</sub> ) <sub>2</sub> CF <sub>3</sub>	OCH₃
206	CH₂(CF₂)₂CF₃	OC₂H₅
207	CH₂(CF₂)₂CF₃	N(CH <sub>3</sub> ) <sub>2</sub>
208	CH₂(CF₂)₂CF₃	N(CH₃)C₂H₅
209	CH <sub>2</sub> (CF <sub>2</sub> ) <sub>2</sub> CF <sub>3</sub>	N(CH <sub>3</sub> )C(O)CH <sub>3</sub>
210	CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>	Н
211	CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>	CH₃
212	CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>	CH₂CH₃
213	CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>	CI
214	CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>	OCH₃
215	CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>	OC₂H₅
216	CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>	N(CH <sub>3</sub> ) <sub>2</sub>
217	CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>	N(CH <sub>3</sub> )C₂H <sub>5</sub>

No.	Y-R <sup>1</sup>	X-R <sup>2</sup>
218	CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>	N(CH <sub>3</sub> )C(O)CH <sub>3</sub>
219	CH <sub>2</sub> CH=CH <sub>2</sub>	Н
220	CH <sub>2</sub> CH=CH <sub>2</sub>	CH₃
221	CH <sub>2</sub> CH=CH <sub>2</sub>	CH₂CH₃
222	CH₂CH=CH₂	CI
223	CH <sub>2</sub> CH=CH <sub>2</sub>	OCH₃
224	CH <sub>2</sub> CH=CH <sub>2</sub>	OC <sub>2</sub> H <sub>5</sub>
225	CH <sub>2</sub> CH=CH <sub>2</sub>	N(CH <sub>3</sub> ) <sub>2</sub>
226	CH₂CH=CH₂	N(CH₃)C₂H₅
227	CH <sub>2</sub> CH=CH <sub>2</sub>	N(CH₃)C(O)CH₃
228	CH(CH₃)CH=CH₂	Н
229	CH(CH₃)CH=CH₂	CH₃
230	CH(CH₃)CH=CH₂	CH₂CH₃
231	CH(CH₃)CH=CH₂	CI
232	CH(CH₃)CH=CH₂	OCH₃
233	CH(CH₃)CH=CH₂	OC₂H₅
234	CH(CH₃)CH=CH₂	N(CH <sub>3</sub> ) <sub>2</sub>
235	CH(CH₃)CH=CH₂	N(CH₃)C₂H₅
236	CH(CH₃)CH=CH₂	N(CH <sub>3</sub> )C(O)CH <sub>3</sub>
237	CH(CH₃)C(CH₃)=CH₂	Н
238	CH(CH <sub>3</sub> )C(CH <sub>3</sub> )=CH <sub>2</sub>	CH₃
239	CH(CH <sub>3</sub> )C(CH <sub>3</sub> )=CH <sub>2</sub>	CH₂CH₃
240	CH(CH₃)C(CH₃)=CH₂	CI
241	CH(CH₃)C(CH₃)=CH₂	OCH₃
242	CH(CH₃)C(CH₃)=CH₂	OC <sub>2</sub> H <sub>5</sub>
243	CH(CH <sub>3</sub> )C(CH <sub>3</sub> )=CH <sub>2</sub>	N(CH <sub>3</sub> ) <sub>2</sub>
244	CH(CH <sub>3</sub> )C(CH <sub>3</sub> )=CH <sub>2</sub>	N(CH <sub>3</sub> )C <sub>2</sub> H <sub>5</sub>
245	CH(CH <sub>3</sub> )C(CH <sub>3</sub> )=CH <sub>2</sub>	N(CH₃)C(O)CH₃
246	cyclopentyl	Н
247	cyclopentyl	CH₃

No.	Y-R <sup>1</sup>	X-R <sup>2</sup>
248	cyclopentyl	CH₂CH₃
249	cyclopentyl	Cl
250	cyclopentyl	OCH₃
251	cyclopentyl	OC₂H₅
252	cyclopentyl	N(CH₃)₂
253	cyclopentyl	N(CH <sub>3</sub> )C <sub>2</sub> H <sub>5</sub>
254	cyclopentyl	N(CH <sub>3</sub> )C(O)CH <sub>3</sub>
255	cyclohexyl	н
256	cyclohexyl	CH₃
257	cyclohexyl	CH₂CH₃
258	cyclohexyl	Cl
259	cyclohexyl	OCH₃
260	cyclohexyl	OC₂H₅
261	cyclohexyl	N(CH₃)₂
262	cyclohexyl	N(CH <sub>3</sub> )C <sub>2</sub> H <sub>5</sub>
263	cyclohexyl	N(CH <sub>3</sub> )C(O)CH <sub>3</sub>
264	CF₃	Н
265	CF <sub>3</sub>	CH₃
266	CF <sub>3</sub>	CH₂CH₃
267	CF₃	CI
268	CF <sub>3</sub>	OCH₃
269	CF <sub>3</sub>	OC₂H₅
270	CF <sub>3</sub>	N(CH₃)₂
271	CF <sub>3</sub>	N(CH₃)C₂H₅
272	CF₃	N(CH <sub>3</sub> )C(O)CH <sub>3</sub>
273	CCl <sub>3</sub>	Н
274	CCl <sub>3</sub>	CH₃
275	CCl <sub>3</sub>	CH₂CH₃
276	CCl <sub>3</sub>	CI
277	CCl <sub>3</sub>	OCH₃

No.	Y-R <sup>1</sup>	X-R <sup>2</sup>
278	CCl <sub>3</sub>	OC₂H₅
279	CCl <sub>3</sub>	N(CH <sub>3</sub> ) <sub>2</sub>
280	CCI <sub>3</sub>	N(CH₃)C₂H₅
281	CCI <sub>3</sub>	N(CH₃)C(O)CH₃
282	CF <sub>2</sub> CF <sub>3</sub>	Н
283	CF <sub>2</sub> CF <sub>3</sub>	CH₃
284	CF <sub>2</sub> CF <sub>3</sub>	CH₂CH₃
285	CF <sub>2</sub> CF <sub>3</sub>	CI
286	CF <sub>2</sub> CF <sub>3</sub>	OCH₃
287	CF₂CF₃	OC₂H₅
288	CF <sub>2</sub> CF <sub>3</sub>	N(CH <sub>3</sub> ) <sub>2</sub>
289	CF <sub>2</sub> CF <sub>3</sub>	N(CH₃)C₂H₅
290	CF <sub>2</sub> CF <sub>3</sub>	N(CH₃)C(O)CH₃
291	(CF <sub>2</sub> ) <sub>2</sub> CF <sub>3</sub>	Н
292	(CF₂)₂CF₃	CH₃
293	(CF₂)₂CF₃	CH₂CH₃
294	(CF <sub>2</sub> ) <sub>2</sub> CF <sub>3</sub>	CI
295	(CF₂)₂CF₃	OCH₃
296	(CF <sub>2</sub> ) <sub>2</sub> CF <sub>3</sub>	OC <sub>2</sub> H <sub>5</sub>
297	(CF₂)₂CF₃	N(CH <sub>3</sub> ) <sub>2</sub>
298	(CF <sub>2</sub> ) <sub>2</sub> CF <sub>3</sub>	N(CH₃)C₂H₅
299	(CF <sub>2</sub> ) <sub>2</sub> CF <sub>3</sub>	N(CH₃)C(O)CH₃
300	C(CH <sub>3</sub> )=CH <sub>2</sub>	Н
301	C(CH₃)=CH₂	CH₃
302	C(CH₃)=CH₂	CH₂CH₃
303	C(CH <sub>3</sub> )=CH <sub>2</sub>	CI
304	C(CH <sub>3</sub> )=CH <sub>2</sub>	OCH₃
305	C(CH <sub>3</sub> )=CH <sub>2</sub>	OC₂H₅
306	C(CH <sub>3</sub> )=CH <sub>2</sub>	N(CH <sub>3</sub> ) <sub>2</sub>
307	C(CH₃)=CH₂	N(CH₃)C₂H₅

No.	Y-R <sup>1</sup>	X-R <sup>2</sup>
308	C(CH <sub>3</sub> )=CH <sub>2</sub>	N(CH₃)C(O)CH₃
309	CH=CH <sub>2</sub>	н
310	CH=CH <sub>2</sub>	CH₃
311	CH=CH <sub>2</sub>	CH₂CH₃
312	CH=CH <sub>2</sub>	CI
313	CH=CH <sub>2</sub>	OCH₃
314	CH=CH <sub>2</sub>	OC₂H₅
315	CH=CH <sub>2</sub>	N(CH₃)₂
316	CH=CH₂	N(CH <sub>3</sub> )C <sub>2</sub> H <sub>5</sub>
317	CH=CH <sub>2</sub>	N(CH₃)C(O)CH₃
318	phenyl	Н
319	phenyl	CH₃
320	phenyl	CH₂CH₃
321	phenyl	CI
322	phenyl	OCH₃
323	phenyl	OC₂H₅
324	phenyl	N(CH₃)₂
325	phenyl	N(CH₃)C₂H₅
326	phenyl	N(CH <sub>3</sub> )C(O)CH <sub>3</sub>
327	CH₂phenyl	Н
328	CH₂phenyl	CH₃
329	CH₂phenyl	CH₂CH₃
330	CH₂phenyl	CI
331	CH₂phenyl	OCH₃
332	CH₂phenyl	OC <sub>2</sub> H <sub>5</sub>
333	CH₂phenyl	N(CH <sub>3</sub> ) <sub>2</sub>
334	CH₂phenyl	N(CH₃)C₂H₅
335	CH₂phenyl	N(CH₃)C(O)CH₃
336	-(CH <sub>2</sub> ) <sub>2</sub> CH=CHCH <sub>2</sub> -	
337	-(CH₂)₂C(C	H <sub>3</sub> )=CHCH <sub>2</sub> -

No.	Y-R <sup>1</sup>	X-R <sup>2</sup>
338	-(CH <sub>2</sub> ) <sub>2</sub> CH(CH <sub>3</sub> )(CH <sub>2</sub> ) <sub>2</sub> -	
339	-(CH <sub>2</sub> ) <sub>2</sub> CHF(CH <sub>2</sub> ) <sub>2</sub> -	
340	-(CH₂)₃CHFCH₂-	
341	-(CH <sub>2</sub> ) <sub>2</sub> CH(CF <sub>3</sub> )(CH <sub>2</sub> ) <sub>2</sub> -	
342	-(CH <sub>2</sub> ) <sub>2</sub> O(CH <sub>2</sub> ) <sub>2</sub> -	
343	-(CH₂)₂S(CH₂)₂-	
344	-(CH₂)₅-	
345	-(CH₂) <sub>6</sub> -	
346	-(CH₂)₄-	
347	-CH₂CH=CHCH₂-	
348	-CH(CH₃) (CH₂)₃-	
349	-CH₂CH(CH₃)(CH₂)₂-	
350	1-piperidinyl	Н
351	1-piperidinyl	CH₃
352	1-piperidinyl	CH₂CH₃
353	1-pyrrolidinyl	Н
354	1-pyrrolidinyl	CH₃
355	1-pyrrolidinyl	CH₂CH₃
356	morpholin-4-yl	Н
357	morpholin-4-yl	CH₃
358	morpholin-4-yl	CH₂CH₃
359	2,5-dihydropyrrol-1-yl	Н
360	2,5-dihydropyrrol-1-yl	CH₃
361	2,5-dihydropyrrol-1-yl	CH₂CH₃
362	Н	CI
363	Н	OCH₃
364	Н	OC₂H₅
365	Н	N(CH <sub>3</sub> ) <sub>2</sub>
366	Н	N(CH₃)C₂H₅
367	Н	N(CH <sub>3</sub> )C(O)CH <sub>3</sub>

No.	Y-R <sup>1</sup>	X-R <sup>2</sup>
368	Н	CH(CH₃)₂
369	Н	CH <sub>2</sub> CH(CH <sub>3</sub> ) <sub>2</sub>
370	Н	CH(CH₃)CH₂CH₃
371	Н	C(CH₃)₃
372	CH₃	CH(CH₃)₂
373	CH₃	CH₂CH(CH₃)₂
374	CH₃	CH(CH₃)CH₂CH₃
375	CH₃	C(CH <sub>3</sub> ) <sub>3</sub>
376	CH₂CH₃	CH₂CH(CH₃)₂
377	CH₂CH₃	CH(CH₃)CH₂CH₃
378	CH₂CH₃	C(CH₃)₃
379	CH₂CF₃	CH(CH₃)₂
380	CH₂CF₃	CH₂CH(CH₃)₂
381	CH₂CF₃	CH(CH₃)CH₂CH₃
382	CH₂CF₃	C(CH₃)₃
383	CH₂CH₂CH₃	CH(CH₃)₂
384	CH <sub>2</sub> CH <sub>2</sub> CH <sub>3</sub>	CH₂CH(CH₃)₂
385	CH₂CH₂CH₃	CH(CH₃)CH₂CH₃
386	CH <sub>2</sub> CH <sub>2</sub> CH <sub>3</sub>	C(CH₃)₃
387	CH(CH₃)₂	CH(CH₃)₂
388	CH(CH₃)₂	CH₂CH(CH₃)₂
389	CH(CH₃)₂	CH(CH₃)CH₂CH₃
390	CH(CH <sub>3</sub> ) <sub>2</sub>	C(CH₃)₃
391	(±)CH(CH <sub>3</sub> )-CF <sub>3</sub>	CH(CH <sub>3</sub> ) <sub>2</sub>
392	(±)CH(CH <sub>3</sub> )-CF <sub>3</sub>	CH₂CH(CH₃)₂
393	(±)CH(CH <sub>3</sub> )-CF <sub>3</sub>	CH(CH₃)CH₂CH₃
394	(±)CH(CH <sub>3</sub> )-CF <sub>3</sub>	C(CH <sub>3</sub> ) <sub>3</sub>
395	(S)(CH(CH₃)-CF₃	CH(CH₃)₂
396	(S)(CH(CH₃)-CF₃	CH <sub>2</sub> CH(CH <sub>3</sub> ) <sub>2</sub>
397	(S)(CH(CH <sub>3</sub> )-CF <sub>3</sub>	CH(CH₃)CH₂CH₃

No.	Y-R <sup>1</sup>	X-R <sup>2</sup>
398	(S)(CH(CH₃)-CF₃	C(CH <sub>3</sub> ) <sub>3</sub>
399	CH <sub>2</sub> CF <sub>2</sub> CF <sub>3</sub>	CH(CH₃)₂
400	CH <sub>2</sub> CF <sub>2</sub> CF <sub>3</sub>	CH₂CH(CH₃)₂
401	CH <sub>2</sub> CF <sub>2</sub> CF <sub>3</sub>	CH(CH₃)CH₂CH₃
402	CH <sub>2</sub> CF <sub>2</sub> CF <sub>3</sub>	C(CH <sub>3</sub> ) <sub>3</sub>
403	CF <sub>3</sub>	CH(CH₃)₂
404	CF <sub>3</sub>	CH₂CH(CH₃)₂
405	CF <sub>3</sub>	CH(CH₃)CH₂CH₃
406	CF <sub>3</sub>	C(CH <sub>3</sub> ) <sub>3</sub>
407	CF <sub>2</sub> CF <sub>3</sub>	CH(CH₃)₂
408	CF₂CF₃	CH₂CH(CH₃)₂
409	CF₂CF₃	CH(CH₃)CH₂CH₃
410	CF₂CF₃	C(CH <sub>3</sub> ) <sub>3</sub>
411	(CF <sub>2</sub> ) <sub>2</sub> CF <sub>3</sub>	CH(CH₃)₂
412	(CF <sub>2</sub> ) <sub>2</sub> CF <sub>3</sub>	CH₂CH(CH₃)₂
413	(CF <sub>2</sub> ) <sub>2</sub> CF <sub>3</sub>	CH(CH₃)CH₂CH₃
414	(CF <sub>2</sub> ) <sub>2</sub> CF <sub>3</sub>	C(CH <sub>3</sub> ) <sub>3</sub>

Further preferred embodiments of the invention relate to tautomers of the formula II. Among the tautomers of the formula II, preference is given to those compounds in which W<sup>a</sup> is O or S. In the tautomers of the formula II, V is preferably a chemical bond. With respect to preferred meanings of the variables R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup> and A, what was stated above for formula I applies. Preferred radicals R<sup>20</sup> are those which are indicated in formula I as preferred radicals for R<sup>1</sup> or R<sup>2</sup>. In particular, R<sup>20</sup> is a radical of the formula C or B as indicated for R<sup>1</sup> or R<sup>2</sup>.

10 Preferred tautomers II are in particular the compounds of the formulae II-A and II-B

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in which R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup> and R<sup>20</sup> have the meanings indicated above.

Particularly preferred among these are the compounds of the formulae II-A and II-B in which R³ is 2-fluoro-6-chlorophenyl, R⁴ is chlorine, R⁵ is hydrogen, R⁶ is hydrogen and R²⁰ has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A1 and II-B1). Examples of these are the compounds II-A1.1 to II-A1.39 and II-B1.1 to II-B1.39 in which R²⁰ has the meaning given in one row of Table B.

Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R³ is 2,6-difluorophenyl, R⁴ is chlorine, R⁵ is hydrogen, R⁶ is hydrogen and R²⁰ has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A2 and II-B2). Examples of these are the compounds II-A2.1 to II-A2.39 and II-B2.1 to II-B2.39 in which R²⁰ has the meaning given in one row of Table B.

Particularly preferred among these are furthermore the compounds of the formulae II-A and II-B in which R<sup>3</sup> is 2,6-dichlorophenyl, R<sup>4</sup> is chlorine, R<sup>5</sup> is hydrogen, R<sup>6</sup> is hydrogen and R<sup>20</sup> has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A3 and II-B3). Examples of these are the compounds II-A3.1 to II-A3.39 and II-B3.1 to II-B3.39 in which R<sup>20</sup> has the meaning given in one row of Table B.

Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R³ is 2-fluoro-6-methylphenyl, R⁴ is chlorine, R⁵ is hydrogen, R⁶ is hydrogen and R²o has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A4 and II-B4). Examples of these are the compounds II-A4.1 to II-A4.39 and II-B4.1 to II-B4.39 in which R²o has the meaning given in one row of Table B.

Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R³ is 2,4,6-trifluorophenyl, R⁴ is chlorine, R⁵ is hydrogen, R⁶ is hydrogen and R²⁰ has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A5 and II-B5). Examples of these are the compounds II-A5.1 to II-A5.39 and II-B5.1 to II-B5.39 in which R²⁰ has the meaning given in one row of Table B.

Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R³ is 2,6-difluoro-4-methoxyphenyl, R⁴ is chlorine, R⁵ is hydrogen, R⁶ is hydrogen and R²o has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A6 and II-B6). Examples of these are the compounds II-A6.1 to II-A6.39 and II-B6.1 to II-B6.39 in which R²o has the meaning given in one row of Table B.

Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R³ is 2-chloro-6-methylphenyl, R⁴ is chlorine, R⁵ is hydrogen, R⁶ is hydrogen and R²o has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A7 and II-B7). Examples of these are the compounds II-A7.1 to II-A7.39 and II-B7.1 to II-B7.39 in which R²o has the meaning given in one row of Table B.

Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R³ is pentafluorophenyl, R⁴ is chlorine, R⁵ is hydrogen, R⁶ is hydrogen and R²⁰ has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A8 and II-B8). Examples of these are the compounds II-A8.1 to II-A8.39 and II-B8.1 to II-B8.39 in which R²⁰ has the meaning given in one row of Table B.

Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R³ is 2-methyl-4-fluorophenyl, R⁴ is chlorine, R⁵ is hydrogen, R⁶ is hydrogen and R²⁰ has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A9 and II-B9). Examples of these are the compounds II-A9.1 to II-A9.39 and II-B9.1 to II-B9.39 in which R²⁰ has the meaning given in one row of Table B.

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Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R<sup>3</sup> is 2-trifluoromethylphenyl, R<sup>4</sup> is chlorine, R<sup>5</sup> is hydrogen, R<sup>6</sup> is hydrogen and R<sup>20</sup> has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A10 and II-B10). Examples of these are the

compounds II-A10.1 to II-A10.39 and II-B10.1 to II-B10.39 in which  $R^{20}$  has the meaning given in one row of Table B.

Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R³ is 2-methoxy-6-fluorophenyl, R⁴ is chlorine, R⁵ is hydrogen, R⁶ is hydrogen and R²⁰ has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A11 and II-B11). Examples of these are the compounds II-A11.1 to II-A11.39 and II-B11.1 to II-B11.39 in which R²⁰ has the meaning given in one row of Table B.

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Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R<sup>3</sup> is 2-chlorophenyI, R<sup>4</sup> is chlorine, R<sup>5</sup> is hydrogen, R<sup>6</sup> is hydrogen and R<sup>20</sup> has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A12 and II-B12). Examples of these are the compounds II-A12.1 to II-A12.39 and II-B12.1 to II-B12.39 in which R<sup>20</sup> has the meaning given in one row of Table B.

Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R³ is 2-fluorophenyl, R⁴ is chlorine, R⁵ is hydrogen, R⁶ is hydrogen and R²o has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A13 and II-B13). Examples of these are the compounds II-A13.1 to II-A13.39 and II-B13.1 to II-B13.39 in which R²o has the meaning given in one row of Table B.

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Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R³ is 2,4-difluorophenyl, R⁴ is chlorine, R⁵ is hydrogen, R⁶ is hydrogen and R²⁰ has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A14 and II-B14). Examples of these are the compounds II-A14.1 to II-A14.39 and II-B14.1 to II-B14.39 in which R²⁰ has the meaning given in one row of Table B.

Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R³ is 2-fluoro-4-chlorophenyl, R⁴ is chlorine, R⁵ is hydrogen, R⁶ is hydrogen and R²⁰ has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A15 and II-B15). Examples of these are the compounds II-A15.1 to II-A15.39 and II-B15.1 to II-B15.39 in which R²⁰ has the meaning given in one row of Table B.

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Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R³ is 4-fluoro-2-chlorophenyl, R⁴ is chlorine, R⁵ is hydrogen, R⁶ is hydrogen and R²⁰ has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A16 and II-B16). Examples of these are the compounds II-A16.1 to II-A16.39 and II-B16.1 to II-B16.39 in which R²⁰ has the meaning given in one row of Table B.

Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R³ is 2,3-difluorophenyl, R⁴ is chlorine, R⁵ is hydrogen, R⁶ is hydrogen and R²⁰ has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A17 and II-B17). Examples of these are the compounds II-A17.1 to II-A17.39 and II-B17.1 to II-B17.39 in which R²⁰ has the meaning given in one row of Table B.

Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R<sup>3</sup> is 2,5-difluorophenyI, R<sup>4</sup> is chlorine, R<sup>5</sup> is hydrogen, R<sup>6</sup> is hydrogen and R<sup>20</sup> has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A18 and II-B18). Examples of these are the compounds II-A18.1 to II-A18.39 and II-B18.1 to II-B18.39 in which R<sup>20</sup> has the meaning given in one row of Table B.

Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R³ is 2,3,4-trifluorophenyl, R⁴ is chlorine, R⁵ is hydrogen, R⁶ is hydrogen and R²⁰ has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A19 and II-B19). Examples of these are the compounds II-A19.1 to II-A19.39 and II-B19.1 to II-B19.39 in which R²⁰ has the meaning given in one row of Table B.

Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R³ is 2-methylphenyl, R⁴ is chlorine, R⁵ is hydrogen, R⁶ is hydrogen and R²⁰ has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A20 and II-B20). Examples of these are the compounds II-A20.1 to II-A20.39 and II-B20.1 to II-B20.39 in which R²⁰ has the meaning given in one row of Table B.

Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R<sup>3</sup> is 2,4-dimethylphenyl, R<sup>4</sup> is chlorine, R<sup>5</sup> is hydrogen, R<sup>6</sup> is hydrogen and R<sup>20</sup> has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A21 and II-B21). Examples of these are the compounds

II-A21.1 to II-A21.39 and II-B21.1 to II-B21.39 in which R<sup>20</sup> has the meaning given in one row of Table B.

Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R³ is 2-methyl-4-chlorophenyl, R⁴ is chlorine, R⁵ is hydrogen, R⁶ is hydrogen and R²⁰ has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A22 and II-B22). Examples of these are the compounds II-A22.1 to II-A22.39 and II-B22.1 to II-B22.39 in which R²⁰ has the meaning given in one row of Table B.

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Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R³ is 2-fluoro-4-methylphenyl, R⁴ is chlorine, R⁵ is hydrogen, R⁶ is hydrogen and R²⁰ has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A23 and II-B23). Examples of these are the compounds II-A23.1 to II-A23.39 and II-B23.1 to II-B23.39 in which R²⁰ has the meaning given in one row of Table B.

Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R³ is 2,6-dimethylphenyl, R⁴ is chlorine, R⁵ is hydrogen, R⁶ is hydrogen and R²o has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A24 and II-B24). Examples of these are the compounds II-A24.1 to II-A24.39 and II-B24.1 to II-B24.39 in which R²o has the meaning given in one row of Table B.

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Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R³ is 2,4,5-trimethylphenyl, R⁴ is chlorine, R⁵ is hydrogen, R⁶ is hydrogen and R²⁰ has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A25 and II-B25). Examples of these are the compounds II-A25.1 to II-A25.39 and II-B25.1 to II-B25.39 in which R²⁰ has the meaning given in one row of Table B.

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Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R<sup>3</sup> is 2,6-difluoro-4-cyanophenyl, R<sup>4</sup> is chlorine, R<sup>5</sup> is hydrogen, R<sup>6</sup> is hydrogen and R<sup>20</sup> has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A26 and II-B26). Examples of these are the compounds II-A26.1 to II-A26.39 and II-B26.1 to II-B26.39 in which R<sup>20</sup> has the meaning given in one row of Table B.

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Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R<sup>3</sup> is 2,6-difluoro-4-methylphenyl, R<sup>4</sup> is chlorine, R<sup>5</sup> is hydrogen, R<sup>6</sup> is hydrogen and R<sup>20</sup> has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A27 and II-B27). Examples of these are the compounds II-A27.1 to II-A27.39 and II-B27.1 to II-B27.39 in which R<sup>20</sup> has the meaning given in one row of Table B.

Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R³ is 2,6-difluoro-4-methoxycarbonylphenyl, R⁴ is chlorine, R⁵ is hydrogen, R⁶ is hydrogen and R²⁰ has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A28 and II-B28). Examples of these are the compounds II-A28.1 to II-A28.39 and II-B28.1 to II-B28.39 in which R²⁰ has the meaning given in one row of Table B.

Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R³ is 2-trifluoromethyl-4-fluorophenyl, R⁴ is chlorine, R⁵ is hydrogen, R⁶ is hydrogen and R²⁰ has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-29 and II-B29). Examples of these are the compounds II-A29.1 to II-A29.39 and II-B29.1 to II-B29.39 in which R²⁰ has the meaning given in one row of Table B.

Particularly preferred among these are the compounds of the formulae II-A and II-B in which R³ is 2-trifluoromethyl-5-fluorophenyl, R⁴ is chlorine, R⁵ is hydrogen, R⁶ is hydrogen and R²⁰ has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A30 and II-B30). Examples of these are the compounds II-A30.1 to II-A30.39 and II-B30.1 to II-B30.39 in which R²⁰ has the meaning given in one row of Table B.

Particularly preferred among these are the compounds of the formulae II-A and II-B in which R³ is 2-trifluoromethyl-5-chlorophenyl, R⁴ is chlorine, R⁵ is hydrogen, R⁶ is hydrogen and R²⁰ has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A31 and II-B31). Examples of these are the compounds II-A31.1 to II-A31.39 and II-B31.1 to II-B31.39 in which R²⁰ has the meaning given in one row of Table B.

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Particularly preferred among these are furthermore the compounds of the formulae II-A and II-B in which R³ is 2-fluoro-6-chlorophenyl, R⁴ is methyl, R⁵ is hydrogen, R⁶ is hydrogen and R²⁰ has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A32 and II-B32). Examples of these are

the compounds II-A32.1 to II-A32.39 and II-B32.1 to II-B32.39 in which R<sup>20</sup> has the meaning given in one row of Table B.

Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R³ is 2,6-difluorophenyl, R⁴ is methyl, R⁵ is hydrogen, R⁶ is hydrogen and R²⁰ has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A33 and II-B33). Examples of these are the compounds II-A33.1 to II-A33.39 and II-B33.1 to II-B33.39 in which R²⁰ has the meaning given in one row of Table B.

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Particularly preferred among these are furthermore the compounds of the formulae II-A and II-B in which R³ is 2,6-dichlorophenyl, R⁴ is methyl, R⁵ is hydrogen, R⁶ is hydrogen and R²⁰ has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A34 and II-B34). Examples of these are the compounds II-A34.1 to II-A34.39 and II-B34.1 to II-B34.39 in which R²⁰ has the meaning given in one row of Table B.

Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R³ is 2-fluoro-6-methylphenyl, R⁴ is methyl, R⁵ is hydrogen, R⁶ is hydrogen and R²⁰ has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A35 and II-B35). Examples of these are the compounds II-A35.1 to II-A35.39 and II-B35.1 to II-B35.39 in which R²⁰ has the meaning given in one row of Table B.

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Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R³ is 2,4,6-trifluorophenyl, R⁴ is methyl, R⁵ is hydrogen, R⁶ is hydrogen and R²⁰ has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A36 and II-B36). Examples of these are the compounds II-A36.1 to II-A36.39 and II-B36.1 to II-B36.39 in which R²⁰ has the meaning given in one row of Table B.

Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R³ is 2,6-difluoro-4-methoxyphenyl, R⁴ is methyl, R⁵ is hydrogen, R⁶ is hydrogen and R²o has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A37 and II-B37). Examples of these are the compounds II-A37.1 to II-A37.39 and II-B37.1 to II-B37.39 in which R²o has the meaning given in one row of Table B.

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Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R<sup>3</sup> is 2-chloro-6-methylphenyl, R<sup>4</sup> is methyl, R<sup>5</sup> is hydrogen, R<sup>6</sup> is hydrogen and R<sup>20</sup> has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A38 and II-B38). Examples of these are the compounds II-A38.1 to II-B38.39 and II-B38.1 to II-B38.39 in which R<sup>20</sup> has the meaning given in one row of Table B.

Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R³ is 2-methyl-4-fluorophenyl, R⁴ is methyl, R⁵ is hydrogen, R⁶ is hydrogen and R²o has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A39 and II-B39). Examples of these are the compounds II-A39.1 to II-A39.39 and II-B39.1 to II-B39.39 in which R²o has the meaning given in one row of Table B.

Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R³ is pentafluorophenyl, R⁴ is methyl, R⁵ is hydrogen, R⁶ is hydrogen and R²⁰ has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A40 and II-B40). Examples of these are the compounds II-A40.1 to II-A40.39 and II-B40.1 to II-B40.39 in which R²⁰ has the meaning given in one row of Table B.

Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R³ is 2-trifluoromethylphenyl, R⁴ is methyl, R⁵ is hydrogen, R⁶ is hydrogen and R²⁰ has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A41 and II-B41). Examples of these are the compounds II-A41.1 to II-A41.39 and II-B41.1 to II-B41.39 in which R²⁰ has the meaning given in one row of Table B.

Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R³ is 2-methoxy-6-fluorophenyl, R⁴ is methyl, R⁵ is hydrogen, R⁶ is hydrogen and R²⁰ has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A42 and II-B42). Examples of these are the compounds II-A42.1 to II-A42.39 and II-B42.1 to II-B42.39 in which R²⁰ has the meaning given in one row of Table B.

Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R³ is 2-chlorophenyl, R⁴ is methyl, R⁵ is hydrogen, R⁶ is hydrogen and R²⁰ has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A43 and II-B43). Examples of these are the compounds

II-A43.1 to II-A43.39 and II-B43.1 to II-B43.39 in which  $R^{20}$  has the meaning given in one row of Table B.

Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R³ is 2-fluorophenyl, R⁴ is methyl, R⁵ is hydrogen, R⁶ is hydrogen and R²⁰ has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A44 and II-B44). Examples of these are the compounds II-A44.1 to II-A44.39 and II-B44.1 to II-B44.39 in which R²⁰ has the meaning given in one row of Table B.

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Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R³ is 2,4-difluorophenyl, R⁴ is methyl, R⁵ is hydrogen, R⁶ is hydrogen and R²o has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A45 and II-B45). Examples of these are the compounds II-A45.1 to II-A45.39 and II-B45.1 to II-B45.39 in which R²o has the meaning given in one row of Table B.

Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R³ is 2-fluoro-4-chlorophenyl, R⁴ is methyl, R⁵ is hydrogen, R⁶ is hydrogen and R²⁰ has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-46 and II-B46). Examples of these are the compounds II-A46.1 to II-A46.39 and II-B46.1 to II-B46.39 in which R²⁰ has the meaning given in one row of Table B.

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Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R³ is 4-fluoro-2-chlorophenyl, R⁴ is methyl, R⁵ is hydrogen, R⁶ is hydrogen and R²⁰ has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A47 and II-B47). Examples of these are the compounds II-A47.1 to II-A47.39 and II-B47.1 to II-B47.39 in which R²⁰ has the meaning given in one row of Table B.

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Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R<sup>3</sup> is 2,3-difluorophenyI, R<sup>4</sup> is methyI, R<sup>5</sup> is hydrogen, R<sup>6</sup> is hydrogen and R<sup>20</sup> has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A48 and II-B48). Examples of these are the compounds II-A48.1 to II-A48.39 and II-B48.1 to II-B48.39 in which R<sup>20</sup> has the meaning given in one row of Table B.

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Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R³ is 2,5-difluorophenyl, R⁴ is methyl, R⁵ is hydrogen, R⁶ is hydrogen and R²⁰ has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A49 and II-B49). Examples of these are the compounds II-A49.1 to II-A49.39 and II-B49.39 in which R²⁰ has the meaning given in one row of Table B.

Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R³ is 2,3,4-trifluorophenyl, R⁴ is methyl, R⁵ is hydrogen, R⁶ is hydrogen and R²⁰ has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A50 and II-B50). Examples of these are the compounds II-A50.1 to II-A50.39 and II-B50.1 to II-B50.39 in which R²⁰ has the meaning given in one row of Table B.

Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R³ is 2-methylphenyl, R⁴ is methyl, R⁵ is hydrogen, R⁶ is hydrogen and R²o has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A51 and II-B51). Examples of these are the compounds II-A51.1 to II-A51.39 and II-B51.1 to II-B51.39 in which R²o has the meaning given in one row of Table B.

Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R³ is 2,4-dimethylphenyl, R⁴ is methyl, R⁵ is hydrogen, R⁶ is hydrogen and R²⁰ has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A52 and II-B52). Examples of these are the compounds II-A52.1 to II-A52.39 and II-B52.1 to II-B52.39 in which R²⁰ has the meaning given in one row of Table B.

Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R³ is 2-methyl-4-chlorophenyl, R⁴ is methyl, R⁵ is hydrogen, R⁶ is hydrogen and R²⁰ has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A53 and II-B53). Examples of these are the compounds II-A53.1 to II-A53.39 and II-B53.1 to II-B53.39 in which R²⁰ has the meaning given in one row of Table B.

Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R<sup>3</sup> is 2-fluoro-4-methylphenyl, R<sup>4</sup> is methyl, R<sup>5</sup> is hydrogen, R<sup>6</sup> is hydrogen and R<sup>20</sup> has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A54 and II-B54). Examples of these are the

compounds II-A54.1 to II-A54.39 and II-B54.1 to II-B54.39 in which  $R^{20}$  has the meaning given in one row of Table B.

Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R³ is 2,6-dimethylphenyl, R⁴ is methyl, R⁵ is hydrogen, R⁶ is hydrogen and R²⁰ has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A55 and II-B55). Examples of these are the compounds II-A55.1 to II-A55.39 and II-B55.1 to II-B55.39 in which R²⁰ has the meaning given in one row of Table B.

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Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R³ is 2,4,5-trimethylphenyl, R⁴ is methyl, R⁵ is hydrogen, R⁶ is hydrogen and R²⁰ has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A56 and II-B56). Examples of these are the compounds II-A56.1 to II-A56.39 and II-B56.1 to II-B56.39 in which R²⁰ has the meaning given in one row of Table B.

Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R³ is 2,6-difluoro-4-cyanophenyl, R⁴ is methyl, R⁵ is hydrogen, R⁶ is hydrogen and R²o has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A57 and II-B57). Examples of these are the compounds II-A57.1 to II-A57.39 and II-B57.1 to II-B57.39 in which R²o has the meaning given in one row of Table B.

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Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R³ is 2,6-difluoro-4-methylphenyl, R⁴ is methyl, R⁵ is hydrogen, R⁶ is hydrogen and R²⁰ has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A58 and II-B58). Examples of these are the compounds II-A58.1 to II-A58.39 and II-B58.1 to II-B58.39 in which R²⁰ has the meaning given in one row of Table B.

Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R³ is 2,6-difluoro-4-methoxycarbonylphenyl, R⁴ is methyl, R⁵ is hydrogen, R⁶ is hydrogen and R²⁰ has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A59 and II-B59). Examples of these are the compounds II-A59.1 to II-A59.39 and II-B59.1 to II-B59.39 in which R²⁰ has the meaning given in one row of Table B.

Particularly preferred among these are also the compounds of the formulae II-A and II-B in which R³ is 2-trifluoromethyl-4-fluorophenyl, R⁴ is methyl, R⁵ is hydrogen, R⁶ is hydrogen and R²o has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-60 and II-B60). Examples of these are the compounds II-A60.1 to II-A60.39 and II-B60.1 to II-B60.39 in which R²o has the meaning given in one row of Table B.

Particularly preferred among these are the compounds of the formulae II-A and II-B in which R³ is 2-trifluoromethyl-5-fluorophenyl, R⁴ is methyl, R⁵ is hydrogen, R⁶ is hydrogen and R²⁰ has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A61 and II-B61). Examples of these are the compounds II-A61.1 to II-A61.39 and II-B61.1 to II-B61.39 in which R²⁰ has the meaning given in one row of Table B.

Particularly preferred among these are the compounds of the formulae II-A and II-B in which R³ is 2-trifluoromethyl-5-chlorophenyl, R⁴ is methyl, R⁵ is hydrogen, R⁶ is hydrogen and R²o has the meanings mentioned above and in particular the meanings mentioned as being preferred (compounds II-A62 and II-B62). Examples of these are the compounds II-A62.1 to II-A62.39 and II-B62.1 to II-B62.39 in which R²o has the meaning given in one row of Table B.

Table B

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No.	R <sup>20</sup>
1	Н
2	CH₃
3	CH₂CH₃
4	CH₂CF₃
5	CH₂CCI₃
6	CH <sub>2</sub> CH <sub>2</sub> CH <sub>3</sub>
7	CH(CH₃)₂
8	(±) CH(CH₃)-CH₂CH₃
9	(S) CH(CH₃)-CH₂CH₃
10	(R) CH(CH₃)-CH₂CH₃
11	(±) CH(CH <sub>3</sub> )-CH(CH <sub>3</sub> ) <sub>2</sub>
12	(S) CH(CH₃)-CH(CH₃)₂
13	(R) CH(CH₃)-CH(CH₃)₂

No.	R <sup>20</sup>
14	(±) CH(CH <sub>3</sub> )-C(CH <sub>3</sub> ) <sub>3</sub>
15	(S) CH(CH₃)-C(CH₃)₃
16	(R) CH(CH₃)-C(CH₃)₃
17	(±) CH(CH <sub>3</sub> )-CF <sub>3</sub>
18	(S) CH(CH₃)-CF₃
19	(R) CH(CH₃)-CF₃
20	(±) CH(CH₃)-CCI₃
21	(S) CH(CH <sub>3</sub> )-CCl <sub>3</sub>
22	(R) CH(CH₃)-CCI₃
23	CH₂CF₂CF₃
24	CH <sub>2</sub> (CF <sub>2</sub> ) <sub>2</sub> CF <sub>3</sub>
25	CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>
26	CH <sub>2</sub> CH=CH <sub>2</sub>
27	CH(CH₃)CH=CH₂
28	CH(CH₃)C(CH₃)=CH₂
29	cyclopentyl
30	cyclohexyl
31	cyclopropyl
32	CF₃
33	CCl <sub>3</sub>
34	CF₂CF₃
35	(CF <sub>2</sub> ) <sub>2</sub> CF <sub>3</sub>
36	C(CH <sub>3</sub> )=CH <sub>2</sub>
37	CH=CH₂
38	phenyl
39	CH₂phenyl

The compounds of the formula I according to the invention can be prepared analogously to prior art methods known per se, starting from 7-aminoazolopyrimidines of the formula III or 7-haloazolopyrimidines of the formula IV

according to the syntheses shown in the schemes below. In the compounds of the formulae III and IV, A, R<sup>3</sup>, R<sup>4</sup> and R<sup>5</sup> have the meanings indicated above. Hal is halogen, in particular chlorine or bromine. The compounds III and IV are known from the prior art cited at the outset or can be prepared analogously to the processes described therein.

Compounds of the formula I in which X and Y are a chemical bond can be prepared, for example, according to the method described by G. A. Grasa et al. J. Org. Chem. 2001, 66(23) pp. 7729-7737 or Stauffer et al., Org. Lett. 2002, 2(10), pp. 1423-1426 by reacting the 7-haloazolopyrimidine IV with an imine of the formula V in the presence of palladium catalysts (see scheme 1)

## 15 Scheme 1:

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$$(IV) + R^{2c} \xrightarrow{NH} R^{1c} \xrightarrow{[Pd]} R^{5} \xrightarrow{N} \stackrel{N}{N} R^{4}$$

In scheme 1, A, R³, R⁴ and R⁵ have the meanings indicated above. R¹c and R²c independently of one another are hydrogen or have the meanings given for R¹ and R², respectively, or R¹c and R²c together with the carbon atom, to which they are attached, form a 5-, 6- or 7-membered saturated or unsaturated carbo- or heterocycle, where the latter may have 1, 2, 3 or 4 heteroatoms selected from the group consisting of O, S and N as ring members, where the carbo- and the heterocycle may be partially or fully halogenated or have 1, 2, 3 or 4 of the radicals R² and/or R³.

Compounds of the formula I in which X and Y are a chemical bond can furthermore be prepared according to the process shown in scheme 2 from the corresponding 7-aminoazolopyrimidines III. To this end, compound III is initially converted using the method described by Llamas-Saiz et al. (J. Chem. Soc. Perkin Trans. 2, 1991, pp. 1667-1676) into the phosphaimine VI, which can then be converted into the

corresponding compound I by reacting either an aldehyde or a ketone VII according to the methods described by Bravo et al. Synlett 1996, p. 887 ff. and Takahashi et al., Synthesis, 1998, pp. 986-990 (see scheme 2):

## 5 Scheme 2:

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(III) 
$$\longrightarrow$$
  $R^{5}$ 
 $A$ 
 $N$ 
 $R^{4}$ 
 $R^{4}$ 
 $R^{4}$ 
 $R^{5}$ 
 $R^{5}$ 
 $R^{5}$ 
 $R^{5}$ 
 $R^{4}$ 
 $R^{4}$ 

In scheme 2, A, R³, R⁴ and R⁵ are as defined above. R¹b and R²b independently of one another are hydrogen or have the meanings given for R¹ and R², respectively, or R¹b and R²b together with the carbon atom, to which they are attached, form a 5-, 6- or 7-membered saturated or unsaturated carbo- or heterocycle, where the latter may have 1, 2, 3 or 4 heteroatoms selected from the group consisting of O, S and N as ring members, where the carbo- and the heterocycle may be partially or fully halogenated or have 1, 2, 3 or 4 of the radicals R³ and/or R³. R is aryl such as phenyl, which is optionally substituted, for example with 1, 2 or 3 substituents selected from the group consisting of halogen, alkyl and alkoxy.

Compounds of the formula I in which Y-R<sup>1</sup> (or X-R<sup>2</sup>) is halogen, X (or Y) is a single bond and R<sup>2</sup> is as defined above may be prepared from the corresponding tautomers of the formula II in which W<sup>a</sup> is oxygen, R<sup>20</sup> corresponds to the radical R<sup>2</sup> and V is a bond, according to the method described by Stevens et al., J. Am. Chem. Soc. 1953, 75, pp. 657-660 by reaction with a halogenating agent [Hal] (see scheme 3).

# Scheme 3:

(III) 
$$R^{5}$$
 $R^{2}$ 
 $R^{3}$ 
 $R^{4}$ 
 $R^{5}$ 
 $R^{1}$ 
 $R^{1}$ 
 $R^{2}$ 
 $R^{2}$ 
 $R^{3}$ 
 $R^{4}$ 
 $R^{1}$ 
 $R^{2}$ 
 $R^{2}$ 
 $R^{2}$ 
 $R^{1}$ 
 $R^{2}$ 
 $R^{2}$ 
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 $R^{5}$ 
 $R^{4}$ 
 $R^{5}$ 
 $R^{5}$ 

In scheme 3, A, R<sup>1</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup> and R<sup>7</sup> are as defined above. Examples of halogenating agents [Hal] are phosphorus halides and sulfur halogen compounds, such as phosphorus oxybromide, phosphorus oxychloride, phosphorus pentachloride, thionyl chloride, thionyl bromide or sulfuryl chloride. The reaction can be carried out in the absence of a solvent or in the presence of a solvent. In one embodiment the reaction is carried out in the presence of a tertiary amine such as triethylamine or pyridine as base. In another preferred embodiment, the reaction is carried out in an aromatic hydrocarbon, such as toluene, in the presence of catalytic amounts of an amide, such as dimethylformamide. Customary reaction temperatures are from –20 to 200°C or, preferably, from 0 to 160°C.

The halogen compounds I in which Y-R<sup>1</sup> (or X-R<sup>2</sup>) is halogen can for their part be converted into the corresponding compounds I in which Y is oxygen by reacting them with an alcohol of the formula R<sup>1</sup>-OH according to the method described by Stevens et al., J. Am. Chem. Soc. 1953, 75, pp. 657-660. In an analogous manner, the compounds I in which X-R<sup>2</sup> is halogen afford the compounds I in which X is oxygen. Moreover, in an analogous manner, it is possible to prepare the compounds of the formula I in which X is a bond and Y is a group R<sup>7</sup> by reaction with secondary amines of the formula R<sup>1</sup>-NH-R<sup>7</sup>. Moreover, in an analogous manner, it is possible to prepare the compounds of the formula I in which X is a bond and Y is S by reaction with thioalcohols of the formula R<sup>1</sup>-SH (see scheme 3).

Compounds of the formula I in which X is a chemical bond and Y-R<sup>1</sup> is a radical of the formula  $N(R^7)R^1$  can be prepared from the compounds III by reaction with carboxamide analogs VIII according to the methods described by S. Leistner et al., Pharmazie 1991, 46, pp. 457-458, and Troschütz et al., Arch. Pharm. 1993, 326, 857-864 (see scheme 4). R" is C<sub>1</sub>-C<sub>6</sub>-alkyl. Compounds of the formula I in which X is a chemical bond and Y is O can be prepared by reacting III with orthoesters of the formula IX according to the method described by Troschütz et al. Arch. Pharm. 1993, 326, 857-864 (see scheme 4). In scheme 4, A, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup> and R<sup>7</sup> are as defined above.

# Scheme 4:

$$(R"O)_{2}CR^{2}-NR^{1}R^{7}$$

$$(VIII)$$

$$(R^{1}O)_{3}CR^{2}$$

$$(IX)$$

$$R^{5}$$

$$R^{4}$$

$$R^{7}$$

$$R^{3}$$

$$R^{4}$$

$$R^{2}$$

$$R^{7}$$

$$R^{3}$$

$$R^{4}$$

The tautomers of the formula II in which  $W^a = O$  and V is a chemical bond can be prepared by customary amidation processes from the 7-aminoazolopyrimidines III, for example by reaction with carboxylic acids or carboxylic acid derivatives of the formula  $R^{23}$ -CO-L in which  $R^{23}$  has one of the meanings given for  $R^{20}$  and L is a nucleophilically replaceable leaving group, for example OH, halogen, in particular chlorine, or the radical of an activated ester group, such as p-nitrophenoxy, if appropriate in the presence of suitable catalysts, auxiliary bases, for example tertiary amines, such as triethylamine or pyridine compounds, and/or dehydrating agents, for example carbodiimides. Methods to achieve this are known from the prior art and can be applied analogously to the preparation of the compounds II where  $W^a = O$  (see, for example, Werbel et al. J. Heterocycl Chem. 1987, 24, p. 345; Stevens et al. loc.cit., see also J. March, "Advanced Organic Synthesis",  $3^{rd}$  edition, Wiley & Sons, New York 1985, pp. 370-376 and the literature cited therein). Compounds II where  $W^a = S$  can be

prepared from the compounds II where  $W^a = O$  by reaction with sulfurizing agents. In an analogous manner, compounds of the formula II in which V is O or S can be prepared by reacting III with derivatives of carbonic acid or thiocarbonic acid, for example chloroformic esters or carbonates. Compounds II in which V is NH can be prepared by reacting III with isocyanates or isothiocyanates.

Compounds of the formula II in which W<sup>a</sup> is S or O can also be converted into the corresponding compounds I in which X is O or S by using alkylating agents (scheme 5). In scheme 5, A, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup> and R<sup>20</sup> have the meanings given above. W<sup>a</sup> and X are S or O. Y has the meanings indicated above and is in particular a chemical bond.

### Scheme 5:

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$$R^{5} \longrightarrow R^{4}$$

$$II \{W^{a} = S, O\}$$

$$X - R^{2}$$

$$R^{5} \longrightarrow R^{3}$$

$$A \longrightarrow R^{4}$$

It is furthermore possible to convert compounds of the formula I given below in which Y is a chemical bond and X is oxygen and compounds I in which X-R<sup>2</sup> is halogen and Y is a chemical bond by reaction with ammonia or a primary amine H<sub>2</sub>N-R<sup>21</sup> into compounds II in which W<sup>a</sup> is a group NH or NR<sup>21</sup> and Y-R<sup>20</sup> corresponds to the group R<sup>1</sup> (scheme 6). By alkylation with an alkylating agent R<sup>7</sup>-L in which L is a nucleophilically replaceable leaving group, for example halogen, (halo)alkylsulfonate, such as mesylate or triflate, or arylsulfonate, such as tosylate, these compounds can then be converted into the imides I in which Y is a chemical bond and X is a group NR<sup>7</sup> and R<sup>21</sup> corresponds to the radical R<sup>2</sup>.

## 25 Scheme 6:

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$$R^{1}$$
 $R^{1}$ 
 $R^{21}$ 
 $R^{3}$ 
 $R^{5}$ 
 $R^{4}$ 
 $R^{5}$ 
 $R^{4}$ 
 $R^{5}$ 
 $R^{5}$ 

In scheme 6, A, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup> and R<sup>7</sup> are as defined above.

The reactions shown in schemes 1 to 6 can be carried out in the absence of a solvent or in solution. Suitable solvents are water, aliphatic hydrocarbons, such as pentane, hexane, cyclohexane and petroleum ether, aromatic hydrocarbons, such as toluene, o-, m- and p-xylene, halogenated hydrocarbons, such as methylene chlorid, chloroform and chlorobenzene, ethers, such as diethyl ether, diisopropyl ether, tert-butyl methyl ether, dioxane, anisole and tetrahydrofuran, nitriles, such as acetonitrile and propionitrile, ketones, such as acetone, methyl ethyl ketone, diethyl ketone and tert-butyl methyl ketone, alcohols, such as methanol, ethanol, n-propanol, isopropanol, n-butanol and tert-butanol, and also dimethyl sulfoxide, dimethylformamide and dimethylacetamide, or hydrochloric acid or acetic acid. It is also possible to use mixtures of the solvents mentioned.

The reaction mixtures are worked up in a customary manner, for example by mixing with water, separating the phases and, if appropriate, chromatographic purification of the crude products. Some of the intermediates and end products are obtained in the form of colorless or slightly brownish viscous oils which can be purified or freed from volatile components under reduced pressure and at moderately elevated temperature. If the intermediates and end products are obtained as solids, purification can also be carried out by recrystallization or digestion.

If individual compounds I cannot be obtained by the routes described above, they can be prepared by derivatization of other compounds I.

If the synthesis yields mixtures of isomers, a separation is generally not necessarily required since in some cases the individual isomers can be interconverted during work-up for use or during application (for example under the action of light, acids or bases). Such conversions may also take place after use, for example, in the case of treatment of plants, in the treated plants, or in the harmful fungus to be controlled.

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The compounds I are suitable as fungicides. They are distinguished by an outstanding effectiveness against a broad spectrum of phytopathogenic fungi, especially from the classes of the *Ascomycetes, Deuteromycetes, Oomycetes* and *Basidiomycetes*. Some are systemically effective and they can be used in plant protection as foliar and soil fungicides.

They are particularly important in the control of a multitude of fungi on various cultivated plants, such as wheat, rye, barley, oats, rice, corn, grass, bananas, cotton, soya, coffee, sugar cane, vines, fruits and ornamental plants, and vegetables, such as cucumbers, beans, tomatoes, potatoes and cucurbits, and on the seeds of these plants.

They are especially suitable for controlling the following plant diseases:

- Alternaria species on fruit and vegetables,
- Bipolaris and Drechslera species on cereals, rice and lawns,
  - · Blumeria graminis (powdery mildew) on cereals,
  - Botrytis cinerea (gray mold) on strawberries, vegetables, ornamental plants and grapevines,
  - Erysiphe cichoracearum and Sphaerotheca fuliginea on cucurbits,
- 20 Fusarium and Verticillium species on various plants,
  - Mycosphaerella species on cereals, bananas and peanuts,
  - · Phytophthora infestans on potatoes and tomatoes,
  - · Plasmopara viticola on grapevines,
  - Podosphaera leucotricha on apples,
- 25 Pseudocercosporella herpotrichoides on wheat and barley,
  - Pseudoperonospora species on hops and cucumbers,
  - Puccinia species on cereals,
  - · Pyricularia oryzae on rice,
  - Rhizoctonia species on cotton, rice and lawns,
- 30 Septoria tritici and Stagonospora nodorum on wheat,
  - Uncinula necator on grapevines,
  - · Ustilago species on cereals and sugar cane, and
  - Venturia species (scab) on apples and pears.
- The compounds I are also suitable for controlling harmful fungi, such as *Paecilomyces* variotii, in the protection of materials (e.g. wood, paper, paint dispersions, fibers or fabrics) and in the protection of stored products.

The compounds I are employed by treating the fungi or the plants, seeds, materials or

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soil to be protected from fungal attack with a fungicidally effective amount of the active compounds. The application can be carried out both before and after the infection of the materials, plants or seeds by the fungi.

The fungicidal compositions generally comprise between 0.1 and 95%, preferably between 0.5 and 90%, by weight of active compound.

When employed in plant protection, the amounts applied are, depending on the kind of effect desired, between 0.01 and 2.0 kg of active compound per ha.

In seed treatment, amounts of active compound of 0.001 to 0.1 g, preferably 0.01 to 0.05 g, per kilogram of seed are generally required.

When used in the protection of materials or stored products, the amount of active compound applied depends on the kind of application area and on the desired effect. Amounts customarily applied in the protection of materials are, for example, 0.001 g to 2 kg, preferably 0.005 g to 1 kg, of active compound per cubic meter of treated material.

- The compounds I can be converted into the customary formulations, for example solutions, emulsions, suspensions, dusts, powders, pastes and granules. The application form depends on the particular purpose; in each case, it should ensure a fine and uniform distribution of the compound according to the invention.
- The formulations are prepared in a known manner, for example by extending the active compound with solvents and/or carriers, if desired using emulsifiers and dispersants. Solvents/auxiliaries which are suitable are essentially:
  - water, aromatic solvents (for example Solvesso products, xylene), paraffins (for example mineral oil fractions), alcohols (for example methanol, butanol, pentanol, benzyl alcohol), ketones (for example cyclohexanone, gamma-butyrolactone), pyrrolidones (NMP, NOP), acetates (glycol diacetate), glycols, fatty acid dimethylamides, fatty acids and fatty acid esters. In principle, solvent mixtures may also be used;
  - carriers such as ground natural minerals (for example kaolins, clays, talc, chalk) and ground synthetic minerals (for example highly disperse silica, silicates); emulsifiers such as nonionic and anionic emulsifiers (for example polyoxyethylene fatty alcohol ethers, alkylsulfonates and arylsulfonates) and dispersants such as lignosulfite waste liquors and methylcellulose.
- 40 Suitable surfactants are alkali metal, alkaline earth metal and ammonium salts of

lignosulfonic acid, naphthalenesulfonic acid, phenolsulfonic acid, dibutylnaphthalene-sulfonic acid, alkylarylsulfonates, alkyl sulfates, alkylsulfonates, fatty alcohol sulfates, fatty acids and sulfated fatty alcohol glycol ethers, furthermore condensates of sulfonated naphthalene and naphthalene derivatives with formaldehyde, condensates of naphthalene or of naphthalenesulfonic acid with phenol and formaldehyde, polyoxyethylene octylphenol ether, ethoxylated isooctylphenol, octylphenol, nonylphenol, alkylphenol polyglycol ethers, tributylphenyl polyglycol ether, tristearylphenyl polyglycol ether, alkylaryl polyether alcohols, alcohol and fatty alcohol/ethylene oxide condensates, ethoxylated castor oil, polyoxyethylene alkyl ethers, ethoxylated polyoxypropylene, lauryl alcohol polyglycol ether acetal, sorbitol esters, lignosulfite waste liquors and methylcellulose.

Suitable for the preparation of directly sprayable solutions, emulsions, pastes or oil dispersions are mineral oil fractions of medium to high boiling point, such as kerosene or diesel oil, furthermore coal tar oils and oils of vegetable or animal origin, aliphatic, cyclic and aromatic hydrocarbons, for example toluene, xylene, paraffin, tetrahydronaphthalene, alkylated naphthalenes or their derivatives, methanol, ethanol, propanol, butanol, cyclohexanol, cyclohexanone, isophorone, strongly polar solvents, for example dimethyl sulfoxide, N-methylpyrrolidone and water.

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Powders, materials for spreading and dustable products can be prepared by mixing or concomitantly grinding the active substances with a solid carrier.

Granules, for example coated granules, impregnated granules and homogeneous granules, can be prepared by binding the active compounds to solid carriers. Examples of solid carriers are mineral earths such as silica gels, silicates, talc, kaolin, attaclay, limestone, lime, chalk, bole, loess, clay, dolomite, diatomaceous earth, calcium sulfate, magnesium sulfate, magnesium oxide, ground synthetic materials, fertilizers, such as, for example, ammonium sulfate, ammonium phosphate, ammonium nitrate, ureas, and products of vegetable origin, such as cereal meal, tree bark meal, wood meal and nutshell meal, cellulose powders and other solid carriers.

In general, the formulations comprise from 0.01 to 95% by weight, preferably from 0.1 to 90% by weight, of the active compound. The active compounds are employed in a purity of from 90% to 100%, preferably 95% to 100% (according to NMR spectrum).

Examples of formulations comprise products for dilution with water, for example

A Water-soluble concentrates (SL)

10 parts by weight of a compound according to the invention are dissolved in water or in a water-soluble solvent. As an alternative, wetters or other auxiliaries are added. The active compound dissolves upon dilution with water;

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B Dispersible concentrates (DC)

20 parts by weight of a compound according to the invention are dissolved in cyclohexanone with addition of a dispersant, for example polyvinylpyrrolidone. Dilution with water gives a dispersion;

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C Emulsifiable concentrates (EC)

15 parts by weight of a compound according to the invention are dissolved in xylene with addition of calcium dodecylbenzenesulfonate and castor oil ethoxylate (in each case 5%). Dilution with water gives an emulsion;

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D Emulsions (EW, EO)

40 parts by weight of a compound according to the invention are dissolved in xylene with addition of calcium dodecylbenzenesulfonate and castor oil ethoxylate (in each case 5%). This mixture is introduced into water by means of an emulsifying machine (Ultraturrax) and made into a homogeneous emulsion. Dilution with water gives an emulsion;

E Suspensions (SC, OD)

In an agitated ball mill, 20 parts by weight of a compound according to the invention are comminuted with addition of dispersants, wetters and water or an organic solvent to give a fine active compound suspension. Dilution with water gives a stable suspension of the active compound;

F Water-dispersible granules and water-soluble granules (WG, SG)

50 parts by weight of a compound according to the invention are ground finely with addition of dispersants and wetters and made into water-dispersible or water-soluble granules by means of technical appliances (for example extrusion, spray tower, fluidized bed). Dilution with water gives a stable dispersion or solution of the active compound;

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G Water-dispersible powders and water-soluble powders (WP, SP)
75 parts by weight of a compound according to the invention are ground in a rotor-stator mill with addition of dispersants, wetting agents and silica gel.
Dilution with water gives a stable dispersion or solution of the active compound;

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and products to be applied undiluted, for example

- H Dustable powders (DP)
  - 5 parts by weight of a compound according to the invention are ground finely and mixed intimately with 95% of finely divided kaolin. This gives a dustable product;
- I Granules (GR, FG, GG, MG)
  0.5 part by weight of a compound according to the invention is ground finely and associated with 95.5% carriers. Current methods are extrusion, spray-drying or the fluidized bed. This gives granules to be applied undiluted;
- J ULV solutions (UL)

10 parts by weight of a compound according to the invention are dissolved in an organic solvent, for example xylene. This gives a product to be applied undiluted.

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The active compounds can be used as such, in the form of their formulations or the use forms prepared therefrom, for example in the form of directly sprayable solutions, powders, suspensions or dispersions, emulsions, oil dispersions, pastes, dustable products, materials for spreading, or granules, by means of spraying, atomizing, dusting, spreading or pouring. The use forms depend entirely on the intended purposes; the intention is to ensure in each case the finest possible distribution of the active compounds according to the invention.

Aqueous use forms can be prepared from emulsion concentrates, pastes or wettable powders (sprayable powders, oil dispersions) by adding water. To prepare emulsions, pastes or oil dispersions, the substances, as such or dissolved in an oil or solvent, can be homogenized in water by means of a wetter, tackifier, dispersant or emulsifier.

Alternatively, it is possible to prepare concentrates composed of active substance, wetter, tackifier, dispersant or emulsifier and, if appropriate, solvent or oil, and such concentrates are suitable for dilution with water.

The active compound concentrations in the ready-to-use preparations can be varied within relatively wide ranges. In general, they are from 0.0001 to 10%, preferably from 0.01 to 1%.

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The active compounds may also be used successfully in the ultra-low-volume process (ULV), by which it is possible to apply formulations comprising over 95% by weight of active compound, or even to apply the active compound without additives.

40 Various types of oils, wetters, adjuvants, herbicides, fungicides, other pesticides, or

bactericides may be added to the active compounds, if appropriate not until immediately prior to use (tank mix). These agents can be admixed with the compositions according to the invention in a weight ratio of 1:10 to 10:1.

5 The compositions according to the invention can, in the use form as fungicides, also be present together with other active compounds, e.g. with herbicides, insecticides, growth regulators, fungicides or else with fertilizers. Mixing the compounds I or the compositions comprising them in the use form as fungicides with other fungicides results in many cases in an expansion of the fungicidal spectrum of activity being obtained.

The following list of fungicides, in conjunction with which the compounds according to the invention can be used, is intended to illustrate the possible combinations but does not limit them:

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- acylalanines, such as benalaxyl, metalaxyl, ofurace or oxadixyl,
- amine derivatives, such as aldimorph, dodine, dodemorph, fenpropimorph, fenpropidin, guazatine, iminoctadine, spiroxamine or tridemorph,
- anilinopyrimidines, such as pyrimethanil, mepanipyrim or cyprodinyl,
- antibiotics, such as cycloheximide, griseofulvin, kasugamycin, natamycin, polyoxin or streptomycin,
  - azoles, such as bitertanol, bromoconazole, cyproconazole, difenoconazole, dinitroconazole, epoxiconazole, fenbuconazole, fluquinconazole, flusilazole, hexaconazole, imazalil, metconazole, myclobutanil, penconazole, propiconazole, prochloraz, prothioconazole, tebuconazole, triadimefon, triadimenol, triflumizole or triticonazole,
  - dicarboximides, such as iprodione, myclozolin, procymidone or vinclozolin,
  - dithiocarbamates, such as ferbam, nabam, maneb, mancozeb, metam, metiram, propineb, polycarbamate, thiram, ziram or zineb,
- heterocyclic compounds, such as anilazine, benomyl, boscalid, carbendazim, carboxin, oxycarboxin, cyazofamid, dazomet, dithianon, famoxadone, fenamidone, fenarimol, fuberidazole, flutolanil, furametpyr, isoprothiolane, mepronil, nuarimol, probenazole, proquinazid, pyrifenox, pyroquilon, quinoxyfen, silthiofam, thiabendazole, thifluzamide, thiophanate-methyl, tiadinil, tricyclazole or triforine,
- copper fungicides, such as Bordeaux mixture, copper acetate, copper oxychloride or basic copper sulfate,
  - nitrophenyl derivatives, such as binapacryl, dinocap, dinobuton or nitrophthalisopropyl,
  - phenylpyrroles, such as fenpicionil or fludioxonil,
- 40 sulfur,

- other fungicides, such as acibenzolar-S-methyl, benthiavalicarb, carpropamid, chlorothalonil, cyflufenamid, cymoxanil, dazomet, diclomezine, diclocymet, diethofencarb, edifenphos, ethaboxam, fenhexamid, fentin acetate, fenoxanil, ferimzone, fluazinam, fosetyl, fosetyl-aluminum, iprovalicarb, hexachlorobenzene, metrafenone, pencycuron, propamocarb, phthalide, tolclofos-methyl, quintozene or zoxamide.
- strobilurins, such as azoxystrobin, dimoxystrobin, fluoxastrobin, kresoxim-methyl, metominostrobin, orysastrobin, picoxystrobin, pyraclostrobin or trifloxystrobin,
- sulfenic acid derivatives, such as captafol, captan, dichlofluanid, folpet or tolylfluanid,
- cinnamides and analogous compounds, such as dimethomorph, flumetover or flumorph.

# Synthesis examples

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The procedures described in the synthesis examples below were used to prepare further compounds by appropriate modification of the starting compounds. The compounds thus obtained are listed in the tables below, together with physical data.

20 Example 1: N'-[5-Chloro-6-(2,4,6-trifluorophenyl)(1,2,4-triazolo[1,5-a]pyrimidin-7-yl)]- N,N-dimethylformamidine

3 ml of dimethylformamide were initially charged in a flask and cooled to  $-8^{\circ}$ C, 0.5 ml of phosphoryl chloride (POCl<sub>3</sub>) was added dropwise and the mixture was stirred at -8°C for 5 min. A solution of 336 mg of 7-amino-5-chloro-6-(2,4,6-trifluorophenyl)-triazolo[1,5-a]pyrimidine hydrochloride in 1 ml of dimethylformamide and 0.14 ml of triethylamine was then added. After 1 h, cooling was removed and the mixture was stirred for 72 h. The reaction mixture was then poured onto ice-water and made alkaline using concentrated ammonia, and the precipitate formed was filtered off with suction. This gave, in a yield of 66%, the title compound of melting point 188-190°C.

The compounds of the formula I-A listed in Table 1 were prepared in an analogous manner (examples 2 and 3).

#### 35 Table 1:

No.	Y-R <sup>1</sup>	X-R <sup>2</sup>	R <sup>3</sup>	R⁴	R⁵	m.p.[°C]
1	-N(CH <sub>3</sub> ) <sub>2</sub>	Н	2,4,6-trifluorophenyl	CI	Н	188-190
2	1-piperidinyl	Н	2,4,6-trifluorophenyl	CI	Н	112-115
3	1-pyrrolidinyl	Н	2,4,6-trifluorophenyl	CI	Н	137-142*

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m.p. melting point\* 85% pure

Example 4: N-[5-Chloro-6-(2,4,6-trifluorophenyl)(1,2,4-triazolo[1,5-a]pyrimidin-7-yl)]acetamide

18 ml of toluene, 0.3 ml of triethylamine, 88 mg of acetyl chloride and 250 mg of 7-amino-5-chloro-6-(2,4,6-trifluorophenyl)triazolo[1,5-a]pyrimidine hydrochloride were stirred at 120°C for 12 h. The mixture was cooled to room temperature and concentrated under reduced pressure, which gave a beige residue. This was taken up in dichloromethane, and the mixture was washed with water. The organic phase was concentrated under reduced pressure, which gave, in a yield of 31%, the title compound as a beige solid of melting point 108-111°C.

15 Example 5: N-[5-chloro-6-(2,4,6-trifluorophenyl)(1,2,4-triazolo-[1,5-a]pyrimidin-7-yl)]propionamide

Using propionyl chloride instead of acetyl chloride, the process from example 4 gave the title compound of melting point 162-165°C.

Examples of the action against harmful fungi

The fungicidal action of the compounds of the formula I was demonstrated by the following experiments:

The active compounds were prepared separately as a stock solution with 0.25% by weight of active compound in acetone or DMSO. 1% by weight of the emulsifier Uniperol® EL (wetting agent having emulsifying and dispersing action based on ethoxylated alkylphenols) was added to this solution, and the mixture was diluted with water to the desired concentration.

Use example 1 - Activity against early blight caused by Alternaria solani

Leaves of tomato plants of the cultivar "Goldene Prinzessin" were sprayed to runoff point with an aqueous suspension having the concentration of active compound stated below. The next day, the treated plants were infected with a spore suspension of *Alternaria solani* in a 2% strength aqueous biomalt solution having a density of 0.17 x 10<sup>6</sup> spores/ml. The test plants were then placed in a water-vapor-saturated chamber at temperatures of from 20 to 22°C. After 5 days, the disease on the untreated, but infected plants had developed to such an extent that the infection could be determined visually.

In this test, the plants which had been treated with 250 ppm of the active compounds from example 1, 2 or 3 showed an infection of less than or equal to 1% whereas the untreated plants were 80% infected.

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Use example 2 – Activity against net blotch of barley caused by *Pyrenophora teres*, 1 day protective application

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Leaves of potted barley seedlings of the cultivar "Igri" were sprayed to runoff point with an aqueous suspension having the concentration of active compound stated below. 24 hours after the spray coating had dried on, the plants were inoculated with an aqueous spore suspension of *Pyrenophora [syn. Drechslera] teres*, the net blotch pathogen. The plants were then placed in a greenhouse at temperatures between 20 and 24°C and 95 to 100 % relative atmospheric humidity. After 6 days, the extent of the mildew development was determined visually in % by the infected leaf area.

In this test, the plants which had been treated with 250 ppm of the active compounds from example 1, 2 or 3 showed an infection of  $\leq$  10%, whereas the untreated plants were 100% infected.

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Use example 3 – Activity against late blight on tomatoes caused by *Phytophthora infestans*, protective application.

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Leaves of potted tomato plants were sprayed to runoff point with an aqueous suspension having the concentration of active compound stated below. The next day, the leaves were infected with an aqueous spore suspension of *Phytophthora infestans*. The plants were then placed in a water vapor-saturated chamber at temperatures between 18 and 20°C. After 6 days, the blight on the untreated, but infected control plants had developed to such an extent that the infection could be determined visually in %.

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In this test, the plants which had been treated with 250 ppm of the active compound from example 5 showed an infection of less than or equal to 15%, whereas the untreated plants were 70% infected.

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Use example 4 - Protective activity against rice blast caused by *Pyricularia oryzae*, microtiter test.

The active compounds were formulated separately as a stock solution and with a concentration of 10 000 ppm in DMSO. The active compounds were diluted with water to

40 the stated concentration.

50 µl of the required active compound concentration were pipetted into a mitrotiter plate (MTP). Inoculation was then carried out using 50 µl of an aqueous spore suspension of *Pyricularia oryzae*. The plates were placed in a water vapor-saturated chamber at

temperatures of 18°C. Using an absorption photometer, the microtiter plates were measured at 405 nm on day 7 after the inoculation.

The measured parameter was compared to the growth of the active compound-free control and the blank value to determine the relative growth in % of the pathogens in the individual active compounds.

In this test, when 125 ppm of the active compound from example 4 were used, the relative growth of the spore suspension was less than or equal to 1%.